10/660,345

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| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | May 12 | EXTEND option available in structure searching |
| NEWS | 4 | May 12 | Polymer links for the POLYLINK command completed in REGISTRY |
| NEWS | 5 | May 27 | New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus |
| NEWS | 6 | May 27 | CAplus super roles and document types searchable in REGISTRY |
| NEWS | 7 | Jun 28 | Additional enzyme-catalyzed reactions added to CASREACT |
| NEWS | 8 | Jun 28 | ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R) |
| NEWS | 9 | Jul 12 | BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS |
| NEWS | 10 | Jul 30 | BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting |

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|---|---|
| NEWS EXPRESS | MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004 |
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FILE 'HOME' ENTERED AT 14:37:56 ON 30 JUL 2004

=> s ?2-amino-1-cyclohexanecarboxylate or ?aminoesters or ?enamino esters or enaminolactones
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

```
=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY     SESSION
FULL ESTIMATED COST                                         1.05        1.05

FILE 'CAPLUS' ENTERED AT 14:40:42 ON 30 JUL 2004
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FILE COVERS 1907 - 30 Jul 2004  VOL 141 ISS 6
FILE LAST UPDATED: 29 Jul 2004  (20040729/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s ?2-amino-1-cyclohexanecarboxylate or ?aminoesters or ?enamino esters or
enaminolactones
'?2' NOT LONG ENOUGH FOR LEFT TRUNCATION
You have entered a truncated stem whose length is less than
the minimum allowed for left truncation in the requested
search field.  You may increase the length of the stem to
the minimum allowed and try again.  Enter HELP SFIELDS to
to find the minimum stem length for left truncation in
the requested search field.

=> s cis-2-amino-1-cyclohexanecarboxylate or ?aminoesters or ?enamino esters or
enaminolactones or beta-2-amino-1-cyclohexane?
        199497 CIS
       8104366 2
        986861 AMINO
            42 AMINOS
        986878 AMINO
                 (AMINO OR AMINOS)
       7962347 1
          1657 CYCLOHEXANECARBOXYLATE
           309 CYCLOHEXANECARBOXYLATES
          1800 CYCLOHEXANECARBOXYLATE
                 (CYCLOHEXANECARBOXYLATE OR CYCLOHEXANECARBOXYLATES)
             3 CIS-2-AMINO-1-CYCLOHEXANECARBOXYLATE
                 (CIS(W)2(W)AMINO(W)1(W)CYCLOHEXANECARBOXYLATE)
           227 ?AMINOESTERS
          2209 ?ENAMINO
        408660 ESTERS
             2 ESTERSES
        408661 ESTERS
                 (ESTERS OR ESTERSES)
```

```
           227 ?ENAMINO ESTERS
                 (?ENAMINO(W)ESTERS)
             5 ENAMINOLACTONES
       1265413 BETA
          1329 BETAS
       1265479 BETA
                 (BETA OR BETAS)
       8104366 2
        986861 AMINO
            42 AMINOS
        986878 AMINO
                 (AMINO OR AMINOS)
       7962347 1
        124950 CYCLOHEXANE?
             0 BETA-2-AMINO-1-CYCLOHEXANE?
                 (BETA(W)2(W)AMINO(W)1(W)CYCLOHEXANE?)
L1         451 CIS-2-AMINO-1-CYCLOHEXANECARBOXYLATE OR ?AMINOESTERS OR ?ENAMINO
                ESTERS OR ENAMINOLACTONES OR BETA-2-AMINO-1-CYCLOHEXANE?

=> s l1 and (prepar? or synthes? or process or make or made or method)
       1481770 PREPAR?
        110763 PREP
          1956 PREPS
        112524 PREP
                 (PREP OR PREPS)
       1874730 PREPD
            21 PREPDS
       1874745 PREPD
                 (PREPD OR PREPDS)
         97419 PREPG
            12 PREPGS
         97430 PREPG
                 (PREPG OR PREPGS)
       2491098 PREPN
        195623 PREPNS
       2639473 PREPN
                 (PREPN OR PREPNS)
       4368506 PREPAR?
                 (PREPAR? OR PREP OR PREPD OR PREPG OR PREPN)
       1382302 SYNTHES?
       1959176 PROCESS
       1301256 PROCESSES
       2913381 PROCESS
                 (PROCESS OR PROCESSES)
        192823 MAKE
        148214 MAKES
        331804 MAKE
                 (MAKE OR MAKES)
       1103742 MADE
            24 MADES
       1103762 MADE
                 (MADE OR MADES)
       2643837 METHOD
       1114446 METHODS
       3444485 METHOD
                 (METHOD OR METHODS)
L2         406 L1 AND (PREPAR? OR SYNTHES? OR PROCESS OR MAKE OR MADE OR METHOD
                )

=> s l2 and (cataly? or reduc?)
```

```
       1199624 CATALY?
       1844600 REDUC?
        815464 REDN
         44145 REDNS
        843129 REDN
                  (REDN OR REDNS)
       2309783 REDUC?
                  (REDUC? OR REDN)
L3           98 L2 AND (CATALY? OR REDUC?)

=> s l2 and (cataly? or reduct?)
       1199624 CATALY?
        422348 REDUCT?
        815464 REDN
         44145 REDNS
        843129 REDN
                  (REDN OR REDNS)
       1080616 REDUCT?
                  (REDUCT? OR REDN)
L4           95 L2 AND (CATALY? OR REDUCT?)

=> dup rem l4 l3
PROCESSING COMPLETED FOR L4
PROCESSING COMPLETED FOR L3
L5            98 DUP REM L4 L3 (95 DUPLICATES REMOVED)

=> d l5 ibib hitstr abs 1-98

L5   ANSWER 1 OF 98  CAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2004:354698  CAPLUS
DOCUMENT NUMBER:          140:375071
TITLE:                    Asymmetric catalytic hydrogenation
                          process for preparation of chiral
                          cyclic β- aminoesters
INVENTOR(S):              Deerberg, Joerg; Mcleod, Douglas D.; Yue, Tai-yuen
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 18 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     US 2004082795      A1   20040429       US 2003-660345   20030911
PRIORITY APPLN. INFO.:                    US 2002-410897P  P  20020913
OTHER SOURCE(S):          CASREACT 140:375071; MARPAT 140:375071
GI
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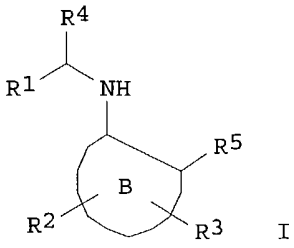


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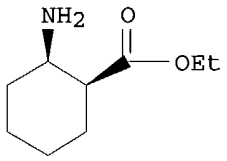



II

AB A **catalytic** asym. hydrogenation **process** of an β-enamino ester to I [B = 4-7-membered non-aromatic carbocyclic or heterocyclic ring; R1 = Q, alk(en/yn)ylene; R2 = Q, alk(en/yn)ylene, etc.; Q = H, carbocycle, heterocycle; R3 = H, Cl, F, alk(en/yn)yl, Ph, etc.; R4 = H, alk(en/yn)yl; R5 = alkyloxy, carboxy] is described. For example, Et (R)-2-[(1-phenylethyl)amino]-1-cyclohexene-1-carboxylate is reduced (EtOH, HOAc, H2-PtO2, 17.5 bar, 40°, 16 h) to give the syn-β-amino ester which is converted to the HBr salt (>99% diastereomeric excess) and debenzylated (MeOH, H2-Pd/C, 7 bar, 40°, 16 h) to give II isolated as the HBr salt. Seven examples are described. The current **process** gives increased selectivity, higher yields and is more economical than prior art **methods.** I are useful as intermediates for MMP and TACE inhibitors.

L5 ANSWER 2 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2004:580297 CAPLUS
TITLE: Highly Efficient **Synthesis** of β-Amino Acid Derivatives via Asymmetric Hydrogenation of Unprotected Enamines
AUTHOR(S): Hsiao, Yi; Rivera, Nelo R.; Rosner, Thorsten; Krska, Shane W.; Njolito, Eugenia; Wang, Fang; Sun, Yongkui; Armstrong, Joseph D., III; Grabowski, Edward J. J.; Tillyer, Richard D.; Spindler, Felix; Malan, Christophe
CORPORATE SOURCE: Departments of Process Research and Analytical Research, Merck Research Laboratories, Merck and Co. Inc., Rahway, NJ, 07065, USA
SOURCE: Journal of the American Chemical Society (2004), 126(32), 9918-9919
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A direct asym. hydrogenation of unprotected **enamino esters** and amides is described. **Catalyzed** by Rh complexes with Josiphos-type chiral ligands, this **method** gives β-amino esters and amides in high yield and high ee (93-97% ee). No acyl protection/deprotection is required.
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

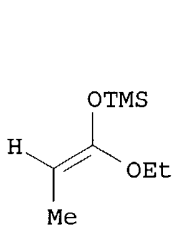
L5 ANSWER 3 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2004:106745 CAPLUS
DOCUMENT NUMBER: 140:339088
TITLE: **Synthesis** of β-lactams and β-**aminoesters** via high intensity ultrasound-promoted Reformatsky reactions

AUTHOR(S): Ross, Nathan A.; MacGregor, Robert R.; Bartsch, Richard A.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, Texas Tech University, Lubbock, TX, 794091061, USA
SOURCE: Tetrahedron (2004), 60(9), 2035-2041
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reformatsky reactions of an imine, an α-bromoester, zinc dust and a **catalytic** amount of iodine in dioxane under high intensity ultrasound (HIU) irradiation from an ultrasonic probe are explored. A series of 16 aldimines with varying electronic demands is evaluated as potential electrophiles for reactions with three α-bromoesters of differing steric demands. This HIU **method** is successful for both enolizable and non-enolizable imines affording in short reaction times high yields of a β-lactam, the corresponding β-aminoester or a mixture of the two products depending on the identity of the imine and α-bromoester.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2004:279336 CAPLUS
DOCUMENT NUMBER: 141:6902
TITLE: Enantioselective Mannich-type reaction **catalyzed** by a chiral Bronsted acid
AUTHOR(S): Akiyama, Takahiko; Itoh, Junji; Yokota, Koji; Fuchibe, Kohei
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Gakushuin University, Toshima-ku, Tokyo, 171-8588, Japan
SOURCE: Angewandte Chemie, International Edition (2004), 43(12), 1566-1568
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

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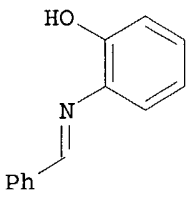
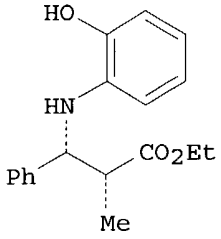
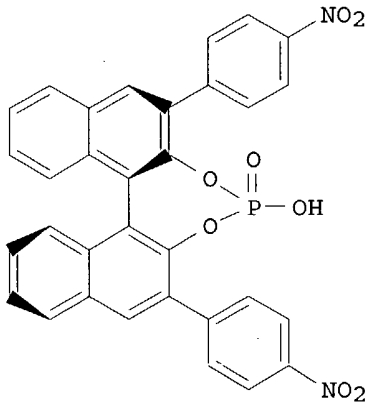



II




III




IV

AB The Mannich-type reaction of ketene silyl acetals, e.g., I, with aldimines, e.g., II, proceeded highly enantioselectively to afford the syn isomer of β amino esters, e.g., III, with up to 96% ee under the influence of a chiral Bronsted acid IV derived from (R)-BINOL.

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2004:205336 CAPLUS
DOCUMENT NUMBER: 140:391327
TITLE: Acylation of alkyl halides and amino aldehydes with a phosphane oxide-based d1-synthon
AUTHOR(S): Bruenjes, Marco; Kujat, Christof; Monenschein, Holger; Kirschning, Andreas
CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Hannover, Hannover, 30167, Germany
SOURCE: European Journal of Organic Chemistry (2004), (5), 1149-1160
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Alkyl iodides and α-amino aldehydes were homologated to the corresponding Me esters and β-amino Me esters, including β-amino-α-hydroxy Me esters, using lithiated (dimethoxymethyl)diphenylphosphine oxide, which was alkylated by alkyl iodides or α-aminoaldehydes with subsequent hydrolysis. Lithiation of Ph2POCH(OMe)2 (4) followed by reaction with RI or C8H17OTf gave alkylated products Ph2POCR(OMe)2 (13-17; R = C8H17, CH2:CHCH2, PhCH2, TBDPSOCH2CHMeCH2, TBDPSOCH2CHMeCH2CH2; TBDPS = tBuPh2Si), which were

converted to corresponding esters RCO2Me by acid-**catalyzed** hydrolysis. Addition of lithiated 4 to PhCH2CH(NR1R2)CHO gave hydroxyaminophosphinoxides PhCH2CH(NR1R2)CH(OH)C(OMe)2POPh2; elimination of the phosphinoxide group promoted by KOtBu gave keteneacetals PhCH2CH(NR1R2)CH:C(OMe)2, which were hydrolyzed to give β-**aminoesters** PhCH2CH(NR1R2)CH2CO2Me (31-33;, R1 = H, R2 = benzyloxycarbonyl; R1 = R2 = PhCH2, allyl). The keteneacetals may either be allowed to react with water under acidic conditions to yield the β-amino Me esters, or may be treated under the Sharpless asym. dihydroxylation conditions to directly furnish the β-amino-α-hydroxy Me esters. Mechanistic studies of the hydrolysis reaction revealed that the diphenylphosphine oxide group is activated by protonation, and acts as the initial leaving group.

REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2004:223091 CAPLUS

TITLE: Investigation of the preperation of N-**arylenamino esters**

AUTHOR(S): Watson, Darrell G.; Dillin, Dennis R.; Wild, Elaina C.; Jewel, Angela M.

CORPORATE SOURCE: Department of Chemistry, University of Mary Hardin-Baylor, Belton, TX, 76513, USA

SOURCE: Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United States, March 28-April 1, 2004 (2004), CHED-774. American Chemical Society: Washington, D. C.
CODEN: 69FGKM

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB Several N-**arylenamino esters** were **prepared** and characterized as part of a continuing study that involves the **preparation**, characterization, and photochem. properties of a series of N-arylenamines. To optimize the yields of these N-**arylenamino esters**, two **preparation methods** were compared. The **prepns.** were conducted using microwave techniques and standard reflux **methods** with a variety of solvents. In the microwave **prepns.**, an aniline, an alkyl acetoacetate, a **catalytic** amount of p-toluene sulfonic acid was mixed in xylene and absorbed on silica gel. The silica gel was heated in an open container in the microwave. In the standard reflux **method**, a mixture of the anilines, alkyl acetoacetates, and p-toluene sulfonic acid were refluxed in non-polar solvent to produce the products. The yields and limitations of these **methods** will be reported and discussed.

L5 ANSWER 7 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2004:131188 CAPLUS

DOCUMENT NUMBER: 140:321008

TITLE: Zn(ClO4)2·6H2O as a powerful **catalyst** for the conversion of β-ketoesters into β-**enamino esters**

AUTHOR(S): Bartoli, Giuseppe; Bosco, Marcella; Locatelli, Manuela; Marcantoni, Enrico; Melchiorre, Paolo; Sambri, Letizia

CORPORATE SOURCE: Dipartimento di Chimica Organica "A. Mangini", Bologna, 40136, Italy

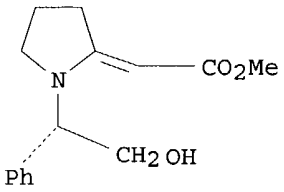
SOURCE: Synlett (2004), (2), 239-242
CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Zn(ClO4)2·6H2O proved to be a very powerful **catalyst** for the condensation of primary and secondary amines with β-ketoesters to give N-substituted β- **enaminoesters.**
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 8
ACCESSION NUMBER: 2003:597626 CAPLUS
DOCUMENT NUMBER: 139:323722
TITLE: Amberlite IR-120 **catalyzed** efficient **synthesis** of glycosyl enamines and their application
AUTHOR(S): Tewari, Neetu; Katiyar, Diksha; Tiwari, Vinod K.; Tripathi, Rama P.
CORPORATE SOURCE: Division of Medicinal Chemistry, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Tetrahedron Letters (2003), 44(35), 6639-6642
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:323722
AB β-Keto esters and acetyl acetone on condensation with glycosylated amino esters in the presence of IR-120 resin resulted in high yields of glycosyl **enamino esters** or ketones. The latter on cyclization with NaH in toluene at reflux gave 6-glycosyl-5,6-dihydro-1H-pyridin-4-ones in fair to good yields.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 9
ACCESSION NUMBER: 2003:598462 CAPLUS
DOCUMENT NUMBER: 139:276794
TITLE: Chiral heterocyclic β- **enamino esters**: convenient **synthesis** and diastereoselective **reduction**
AUTHOR(S): Calvet, Sandrine; David, Olivier; Vanucci-Bacque, Corinne; Fargeau-Bellassoued, Marie-Claude; Lhommet, Gerard
CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles, Universite Pierre et Marie Curie, UMR 7611, Associe au CNRS, Paris, F-75252 05, Fr.
SOURCE: Tetrahedron (2003), 59(33), 6333-6339
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:276794
GI

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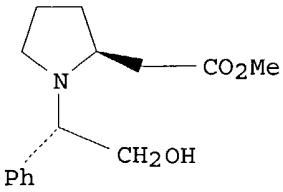



II

AB The **preparation** of chiral pyrrolidine and piperidine β-**enamino esters** starting from ω-halo β-keto esters, their diastereoselective **reduction**, and the subsequent cleavage of the chiral auxiliary are described. E.g., reaction of ClCH2CH2CH2COCH2CO2Me with (S)-phenylglycinol gave pyrrolidine derivative I. Diastereoselective **catalytic** hydrogenation of I gave pyrrolidine II.

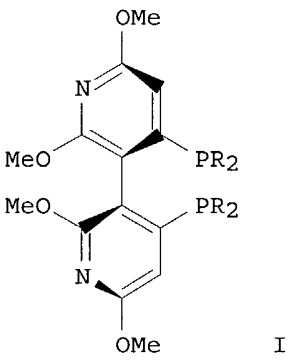
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 10
ACCESSION NUMBER: 2003:522779 CAPLUS
DOCUMENT NUMBER: 139:260936
TITLE: A facile enantioselective **synthesis** of 2-(2-aminoethyl)allylsilanes, new synthons for piperidine **synthesis**
AUTHOR(S): Monfray, Jeremy; Gelas-Mialhe, Yvonne; Gramain, Jean-Claude; Remuson, Roland
CORPORATE SOURCE: Laboratoire de Synthese Et Etude de Systemes a Interet Biologique (SEESIB), Universite Blaise Pascal (Clermont-Ferrand), CNRS UMR 6504, Aubiere, 63177, Fr.
SOURCE: Tetrahedron Letters (2003), 44(31), 5785-5787
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:260936
AB The **synthesis** of chiral (2-substituted-2-aminoethyl)allylsilanes by cerium mediated trimethylsilylmethylmagnesium chloride addition to the ester group of non racemic chiral β- **aminoesters** is described.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 11
ACCESSION NUMBER: 2003:113730 CAPLUS
DOCUMENT NUMBER: 138:303914
TITLE: Chiral ligand-controlled asymmetric conjugate addition of lithium amides to enoates
AUTHOR(S): Doi, Hirohisa; Sakai, Takeo; Iguchi, Mayu; Yamada, Kenichi; Tomioka, Kiyoshi
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Kyoto University, Kyoto, 606-8501, Japan
SOURCE: Journal of the American Chemical Society (2003), 125(10), 2886-2887
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:303914
AB β-Amino esters are **prepared** enantioselectively in 61-99% yields and 73-97% ee by addition of lithium amides (generated from amines and butyllithium) to trans-α,β-unsatd. esters in the presence of (R,R)-1,2-dimethoxy-1,2-diphenylethane at -78° in toluene. The amount of amine added is important to assure high enantioselectivities; use of 1.5 equivalent of amine rather than 3.0 equivalent decreases the enantioselectivity of addition to tert-Bu trans-cinnamate from 93% ee to 82% ee. Chlorotrimethylsilane is an effective additive for enantioselective addition of lithium amides to unsatd. esters. In one case, use of 30 mol% of (R,R)-1,2-dimethoxy-1,2-diphenylethane as a nonracemic chiral ligand for the addition of lithium (trimethylsilyl)benzylamide to tert-Bu trans-cinnamate provided the corresponding β-amino ester in 75% yield and 70% ee.
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 12
ACCESSION NUMBER: 2003:120381 CAPLUS
DOCUMENT NUMBER: 138:303925
TITLE: Ru-**Catalyzed** Highly Enantioselective Hydrogenation of β-Alkyl-Substituted β-(Acylamino)acrylates
AUTHOR(S): Wu, Jing; Chen, Xuanhua; Guo, Rongwei; Yeung, Chi-hung; Chan, Albert S. C.
CORPORATE SOURCE: Open Laboratory of Chirotechnology, Institute of Molecular Technology for Drug Discovery and Synthesis, The Hong Kong Polytechnic University, Peop. Rep. China
SOURCE: Journal of Organic Chemistry (2003), 68(6), 2490-2493
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:303925
GI




I

AB β-Alkyl-substituted (E)-β-(acylamino)-acrylates R1C(AcNH):CHCO2R2 (R1 = Me, Et, EtCH2, Me2CH, Me3C; R2 = Me, Et) undergo enantioselective hydrogenation in the presence of the nonracemic bipyridyldiphosphine I (R = 3,5-Me2C6H3) and [RuCl2(benzene)]2 to provide

β- **aminoesters** R1CH(NHAc)CH2CO2R2 in up to 99.7% ee. (Z)-β-(acylamino)-acrylates R1C(AcNH):CHCO2R2 (R1 = Me, Et, EtCH2, Me2CH, Me3C; R2 = Me, Et) undergo enantioselective hydrogenation in the presence of nonracemic bipyridyldiphosphine I (R = 3,5-Me2C6H3) and Rh(COD)2BF4 to provide β- **aminoesters** R1CH(NHAc)CH2CO2R2 in 57-82% ee. Hydrogenation does not occur in the presence of ruthenium or rhodium complexes of I (R = Ph, 4-MeC6H4, 3,5-Me2C6H3) in aprotic solvents; methanol is found to be the optimal solvent. Decreasing the hydrogen pressure increases the enantioselectivity marginally, with 4 atmospheric of hydrogen pressure being optimal. Ruthenium complexes of I give higher enantioselectivities for hydrogenation of (E)-β-aminoacrylates than the corresponing rhodium complexes; for the hydrogenation of (Z)-β-aminoacrylates, rhodium complexes of I give higher enantioselectivities than the corresponding ruthenium complexes. Variations in the electronic and steric properties of the dipyridylphosphine ligand, variation of the transition metal used, and variations in the enamine stereochem. influence the rate and enantioselectivity of the hydrogenation of β-(acylamino)acrylates.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 13

ACCESSION NUMBER: 2003:891768 CAPLUS
DOCUMENT NUMBER: 140:76826
TITLE: Lewis base-**catalyzed** Mannich-type reaction between aldimine and trimethylsilyl enolate
AUTHOR(S): Fujisawa, Hidehiko; Takahashi, Eiki; Nakagawa, Takashi; Mukaiyama, Teruaki
CORPORATE SOURCE: Center for Basic Research, The Kitasato Institute (TCl), Tokyo, 114-0003, Japan
SOURCE: Chemistry Letters (2003), 32(11), 1036-1037
CODEN: CMLTAG; ISSN: 0366-7022
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:76826

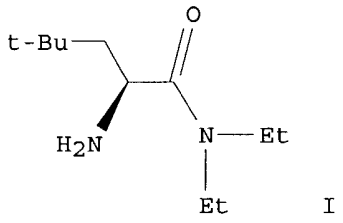
AB Lithium benzamide- or potassium phthalimide-**catalyzed** Mannich-type reaction between trimethylsilyl enolates and aldimines proceeded smoothly in a DMF solvent to afford the corresponding β-amino esters in good to high yields. Addition of ArCH:NTs to Me2C:C(OMe)(OSiMe3) in the presence of the base gave ArCH(NHTs)CMe2CO2Me (Ar = 4-RC6H4, R = H, Cl, CN, NO2, OMe, Me2N; Ar = 4-pyridyl). The same reaction of PhCH:NTs with R1R2C:CX(OSiMe3) (R1 = R2 = Me; R1 = H, R2 = Me; R1 = Me, R2 = H; X = StBu, OMe, Ph) gave the corresponding β-tosylamino carbonyl compds. with moderate anti/syn diastereoselectivity.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 14

ACCESSION NUMBER: 2003:908656 CAPLUS
DOCUMENT NUMBER: 140:181738
TITLE: A novel L-neopentylglycine derivative as auxiliary for copper-**catalyzed** asymmetric Michael reactions
AUTHOR(S): Christoffers, Jens; Schuster, Katja
CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Stuttgart, Stuttgart, Germany
SOURCE: Chirality (2003), 15(9), 777-782

CODEN: CHRLEP; ISSN: 0899-0042
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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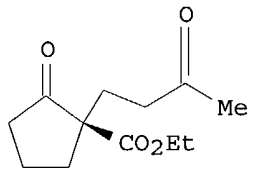

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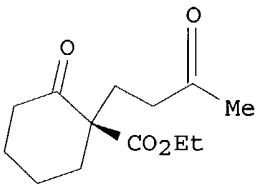
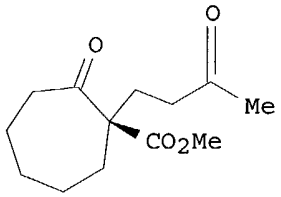


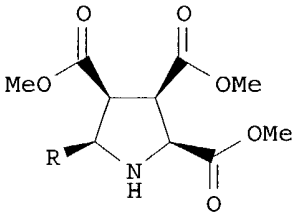
III



IV

AB L-Neopentylglycine diethylamide (I) was **prepared** from the new unnatural amino acid L-neopentylglycine. The utilization of I as a chiral auxiliary in the copper(II)-**catalyzed** asym. Michael reaction was investigated in comparison with L;-valine diethylamide. Cyclic β-oxocarboxylates react with I and L-valine diethylamide to give **enaminoesters**, which were converted with Me vinyl ketone in the presence of 10 mol% Cu(OAc)2·H2O at room temperature in acetone to yield the optically active Michael addition products (R)-II and III with high selectivity independent of the starting enamine. In the case of the seven-membered β-oxocarboxylate, however, the valine-derived enamine led to higher enantioselectivity for product IV. Despite the bulkiness of the neopentyl group, the iso-Pr group with an α-branch has a better stereoinducing effect.
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 15
ACCESSION NUMBER: 2002:803342 CAPLUS
DOCUMENT NUMBER: 138:73139
TITLE: Highly Enantioselective Ag(I)-**Catalyzed** [3 + 2] Cycloaddition of Azomethine Ylides
AUTHOR(S): Longmire, James M.; Wang, Bin; Zhang, Xumu
CORPORATE SOURCE: Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA
SOURCE: Journal of the American Chemical Society (2002), 124(45), 13400-13401
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:73139
GI



I

AB A highly reactive Ag(I)-**catalyzed** [3 + 2] cycloaddn. of azomethine ylides is founded using AgOAc as the **catalytic** precursor and phosphines as ligands. Using a new bis-ferrocenyl amide phosphine (FAP) as the ligand, the authors found that high enantioselectivities (up to 97% ee) have been achieved in the [3 + 2] cycloaddn. of azomethine ylides, generated from imines RCH:NCH2CO2Me (R = Ph, 4-MeOC6H4, Me2CH, etc.), with dipolarophiles, e.g. di-Me maleate, Me acrylate, and N-methylmaleimide, giving pyrrolidines I (R = Ph, 1-naphthyl, cyclohexyl, etc.). Up to four stereogenic centers can be established in this multicomponent coupling reaction from readily available materials such as aldehydes, **aminoesters**, and dipolarophiles.

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 16

ACCESSION NUMBER: 2002:398794 CAPLUS
DOCUMENT NUMBER: 137:140260
TITLE: New Strategy for the Stereoselective **Synthesis** of Fluorinated β-Amino Acids
AUTHOR(S): Fustero, Santos; Pina, Belen; Salavert, Esther; Navarro, Antonio; Ramirez de Arellano, M. Carmen; Simon Fuentes, Antonio
CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Valencia, Burjassot, 46100, Spain
SOURCE: Journal of Organic Chemistry (2002), 67(14), 4667-4679
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140260
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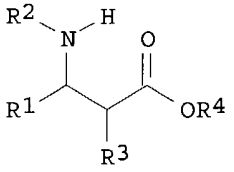

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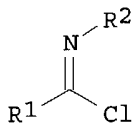
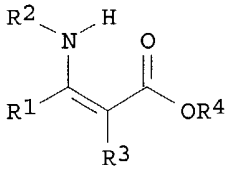


II



III

AB Racemic and chiral nonracemic β-fluoroalkyl β-amino acids and esters I [R1 = F3C, F2CCl, F3CCF2, C8F17; R2 = 4-MeOC6H4, cyclohexyl, (S)-PhCHMe, (S)-1-cyclohexylethyl; R3 = H, Me, Et; R4 = H, Me, (-)-menthyl, etc.] were **synthesized** in two steps starting from fluorinated imidoyl chlorides II and ester enolates. This approach was based on the chemical **reduction** of previously obtained γ-fluorinated β- **enamino esters** III using ZnI2/NaBH4 in a nonchelated aprotic medium (dry CH2Cl2) as the reducing agent. A metal-chelated six-membered model was suggested to explain the stereochem. outcome of the **reduction** reaction. The transformations occurred in high yields and with moderate to good diastereoselectivities. The best results related to diastereoselective **reduction** of chiral β- **enamino esters** III were provided by the use of (-)-8-phenylmenthol as a chiral auxiliary.

REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 17

ACCESSION NUMBER: 2002:866100 CAPLUS

DOCUMENT NUMBER: 138:106563

TITLE: **Synthesis** of Enantiomerically Pure 2,2,3,4,5-Pentasubstituted Pyrrolidines by Phenylsulfanyl Migration

AUTHOR(S): Baldwin, I. Craig; Briner, Paul; Eastgate, Martin D.; Fox, David J.; Warren, Stuart

CORPORATE SOURCE: OSI Pharmaceuticals, Cowley, Oxford, OX4 5LY, UK

SOURCE: Organic Letters (2002), 4(25), 4381-4384
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:106563

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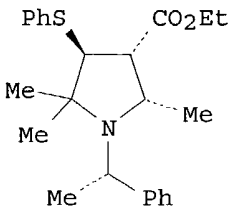


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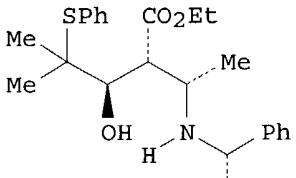


II

AB Enantiomerically pure 2,2,3,4,5-pentasubstituted pyrrolidines, e.g., I, can be **prepared**, in high overall yield, from α,β-unsatd. esters. Asymmetry is introduced via a Michael addition, and addnl. stereogenic centers are introduced by an aldol reaction. A novel stereospecific ring-forming reaction, proceeding via a thiiranium (episulfonium) ion, yields pyrrolidines from β-hydroxy sulfides, e.g., II. In this manner, 2,2,3,4,5-pentasubstituted pyrrolidines, containing three contiguous stereogenic centers around the ring, can be **prepd**. in 44% overall yield from Et crotonate.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 18
ACCESSION NUMBER: 2002:291151 CAPLUS
DOCUMENT NUMBER: 137:5828
TITLE: A Study of Aryl Radical Cyclization in Enaminone Esters
AUTHOR(S): Navarro-Vazquez, Armando; Garcia, Alberto; Dominguez, Domingo
CORPORATE SOURCE: Facultad de Quimica, Departamento de Quimica Organica y Unidad Asociada al CSIC, Universidad de Santiago de Compostela, Santiago de Compostela, 15782, Spain
SOURCE: Journal of Organic Chemistry (2002), 67(10), 3213-3220
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:5828

AB Aryl radical cyclization in N-Ph, N-benzyl, and N-phenethyl enaminone esters was studied. N-Benzyl and N-phenethyl enaminones afforded 5-exo and 6-exo cyclization products, resp., but radical cyclization did not occur in N-Ph enaminones. The rate consts. for the 5-exo and 6-exo cyclization **processes** in secondary enaminones were estimated as being .apprx.107 s-1 at 353 K; since DNMR expts. showed the rate constant for rotation around the enaminone C3-N bond to be .apprx.104 s-1 at this temperature, the initial enaminone configuration is maintained throughout the cyclization **process.** PM3 calcns. suggested that the nonoccurrence of endo and 4-exo cyclizations is due to the corresponding transition structures involving significant distortion of the conjugated enaminone system.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 19
ACCESSION NUMBER: 2002:211734 CAPLUS
DOCUMENT NUMBER: 137:109429
TITLE: New glycosyl α-hydroxyesters as key intermediates in a convenient route to glycosyl α-aminoester chirons

AUTHOR(S): Grison, Claude; Coutrot, Frederic; Coutrot, Philippe
CORPORATE SOURCE: Institut Nanceien de Chimie Moleculaire, UMR 7565, FR CNRS 1742, Universite Henri Poincare, Nancy 1, Vandoeuvre-les-Nancy, 54506, Fr.
SOURCE: Tetrahedron (2002), 58(14), 2735-2741
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:109429
AB This article examines the stereoselective **preparation** of glycosyl α-hydroxyesters via the asym. **reduction** of glycosyl α-ketoesters, using various chiral or achiral reagents and Bakers' yeast. The diastereomeric excess could exceed 98% for the galacto-series. These glycosyl α-hydroxyesters are used as chiral precursors for the diastereoselective **synthesis** of glycosyl α-**aminoesters** synthons.
REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 20
ACCESSION NUMBER: 2002:97743 CAPLUS
DOCUMENT NUMBER: 137:33179
TITLE: Immobilized α-diazophosphonoacetate as a versatile key precursor for palladium **catalyzed** indole **synthesis** on a polymer support
AUTHOR(S): Yamazaki, Kazuo; Kondo, Yoshinori
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Tohoku University, Aobayama, Aoba-ku, Sendai, 980-8578, Japan
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2002), (3), 210-211
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:33179
AB Rh(II)-**catalyzed** N-H insertion reaction of immobilized α-diazophosphonoacetate with 2-haloanilines followed by Horner-Emmons reaction gave immobilized **enaminoesters**, which were efficiently cyclized to indoles via intramol. palladium **catalyzed** reaction on a polymer support.
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 21
ACCESSION NUMBER: 2002:159068 CAPLUS
DOCUMENT NUMBER: 136:340559
TITLE: Palladium-**Catalyzed Synthesis** of Indole-3-Carboxylates on a Solid Polymer Support
AUTHOR(S): Yamazaki, Kazuo; Kondo, Yoshinori
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Tohoku University, Aobayama Aoba-ku Sendai, 980-8578, Japan
SOURCE: Journal of Combinatorial Chemistry (2002), 4(3), 191-192
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:340559

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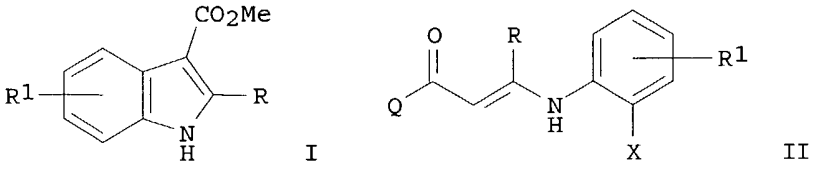

AB The indolecarboxylates I (R = H, Me; R1 = H, 5-Me, 6-F3C, 6-O2N) were **prepared** via Pd-**catalyzed** cyclization of the resin-bound **enamino esters** II (X = iodo, Br; Q = hydroxymethyl polystyrene resin) and subsequent NaOMe promoted resin cleavage.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 22

ACCESSION NUMBER: 2002:732461 CAPLUS
DOCUMENT NUMBER: 138:187392
TITLE: Easy **synthesis** of (E)- or (Z)-perfluorinated β- **enaminoesters**
AUTHOR(S): Prie, Gildas; Richard, Sebastien; Parrain, Jean-Luc; Duchene, Alain; Abarbri, Mohamed
CORPORATE SOURCE: Faculte des Sciences de Tours, Laboratoire de Physicochimie des Interfaces et des Milieux Reactionnels, Tours, 37200, Fr.
SOURCE: Journal of Fluorine Chemistry (2002), 117(1), 35-41
CODEN: JFLCAR; ISSN: 0022-1139
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:187392
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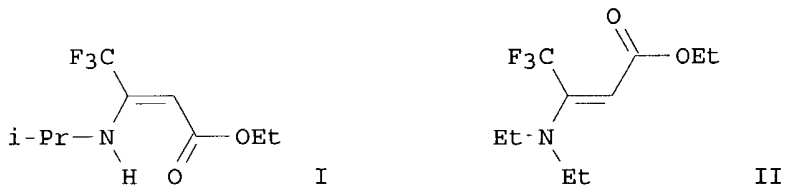

AB (E)- or (Z)-perfluorinated β- **enaminoesters**, e.g. I and II, were **prepared** by direct addition of primary or secondary amines to Et perfluoroalkynoates without any **catalyst.**

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 23

ACCESSION NUMBER: 2001:900174 CAPLUS
DOCUMENT NUMBER: 136:200030
TITLE: A new simple route for the **synthesis** of (±)-2-azetidinones starting from β-enaminoketoesters

AUTHOR(S): De Risi, Carmela; Pollini, Gian Piero; Veronese, Augusto C.; Bertolasi, Valerio
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Ferrara, 44100, Italy
SOURCE: Tetrahedron (2001), 57(51), 10155-10161
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:200030
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB β-Enaminoketoesters I (R = Me, Et), obtained through metal-**catalyzed** reaction of Me acetoacetate with alkyl cyanoformates have been conveniently transformed into β- **aminoesters** II (R = Me, Et) and III by **reduction** of both the carbonyl group and the carbon-carbon double bond of the enaminoester moiety. These intermediates could be easily converted to (±)-2-azetidinones IV (R = Me, Et) and V structurally related to thienamycin in good yield and high diastereoselectivity.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 24
ACCESSION NUMBER: 2001:627730 CAPLUS
DOCUMENT NUMBER: 135:331236
TITLE: 1,2-Aryl and 1,2-Hydride Migration in Transition Metal Complex **Catalyzed** Diazo Decomposition: A Novel Approach to α-Aryl-β- **enamino Esters**
AUTHOR(S): Jiang, Nan; Qu, Zhaohui; Wang, Jianbo
CORPORATE SOURCE: Key Laboratory of Bioorganic Chemistry and Molecular Engineering of Ministry of Education Department of Chemistry, Peking University, Beijing, 100871, Peop. Rep. China
SOURCE: Organic Letters (2001), 3(19), 2989-2992
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:331236
AB N-Tosyl diazoketamines were **prepared** by addition of the Et α-diazoacetate anion to N-sulfonylimines. The diazo decomposition of the diazoketamines with Rh2(OAc)4 complex resulted in aryl migration to give α-aryl-β- **enamino esters** in good yields and high stereoselectivity. The effect of the **catalysts** on the migratory aptitude of 1,2-aryl over 1,2-hydride migration was studied. A reaction mechanism involving a "bridged" phenonium ion is proposed.
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

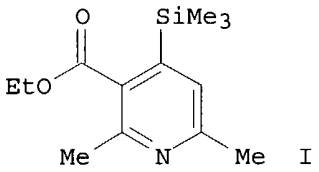
L5 ANSWER 25 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 25
ACCESSION NUMBER: 2001:711299 CAPLUS
DOCUMENT NUMBER: 136:69589
TITLE: An improved **synthesis** of enantiopure

β-amino acids
AUTHOR(S): Cimarelli, Cristina; Palmieri, Gianni; Volpini, Emanuela
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, I-62032, Italy
SOURCE: Synthetic Communications (2001), 31(19), 2943-2953
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:69589
AB An improved **method** for the **preparation** of both enantiopure β-amino acids is presented. The diastereomer benzyl β-amino esters, obtained by stereoselective **reduction** of β-**enamino esters**, were separated and hydrogenolyzed to the free enantiopure β-amino acids.
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 26
ACCESSION NUMBER: 2001:711618 CAPLUS
DOCUMENT NUMBER: 136:20174
TITLE: Diastereoselective **reduction** of chiral **enaminolactones**: a short and convenient route to enantiopure (+)-tashiromine
AUTHOR(S): David, Olivier; Bellec, Christian; Fargeau-Bellassoued, Marie-Claude; Lhommet, Gerard
CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles (UMR 7611), Universite Pierre et Marie Curie, Paris, 75252, Fr.
SOURCE: Heterocycles (2001), 55(9), 1689-1701
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:20174
AB Some chiral β-enamino lactones were reduced **catalytically** or chemical with good to moderate diastereoselectivity owing to a chiral induction originated from (S)-α-methylbenzylamine. The scope of the present methodol. has been extended to the **synthesis** of an indolizidine alkaloid: (+)-tashiromine. A **synthesis** of this natural product was achieved in a short and attractive manner (five steps from thiolactam) to enantiopure alkaloid in 25% overall yield.
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 27
ACCESSION NUMBER: 2001:775803 CAPLUS
DOCUMENT NUMBER: 136:167346
TITLE: **Synthesis** of pyridines and pyrido[2,3-d]pyrimidines by the Lewis acid **catalyzed** Bohlmann-Rahtz heteroannulation reaction
AUTHOR(S): Bagley, Mark C.; Dale, James W.; Hughes, David D.; Ohnesorge, Maren; Phillips, Nathan G.; Bower, Justin
CORPORATE SOURCE: Department of Chemistry, Cardiff University, Cardiff, CF10 3TB, UK
SOURCE: Synlett (2001), (10), 1523-1526
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:167346
GI



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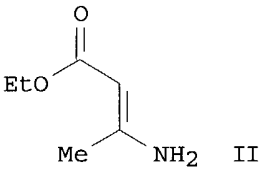

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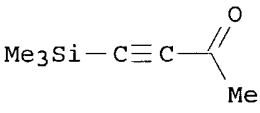
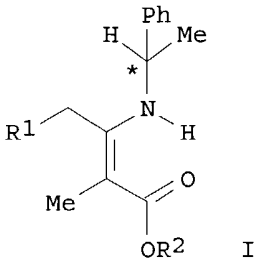


III

AB Lewis acids **catalyze** the Bohlmann-Rahtz heteroannulation reaction to generate highly functionalized pyridines, e.g., I, from **enamino esters**, e.g., II, and alkynones, e.g., III, in a single synthetic step. Of the **catalysts** studied, ytterbium(III) trifluoromethanesulfonate and zinc(II) bromide are the two most efficient for the **synthesis** of pyridines and pyrido[2,3-d]pyrimidines, from II or 2,6-diaminopyrimidin-4-one resp., in up to 94% yield.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 28
ACCESSION NUMBER: 2002:131876 CAPLUS
DOCUMENT NUMBER: 136:385757
TITLE: Michael reaction of acyclic β-**enaminoesters** derived from α-alkyl-β-ketoesters and chiral α-methylbenzylamine: stereoselective generation of quaternary carbon centers
AUTHOR(S): Maiti, Soumen; Ghoshal, Nanda; Mukhopadhyay, Ranjan; Achari, Basudeb; Banerjee, Asish Kr
CORPORATE SOURCE: Indian Institute of Chemical Biology, Calcutta, 700 032, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2001), 40B(11), 1072-1080
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:385757
GI

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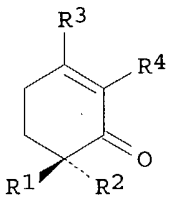


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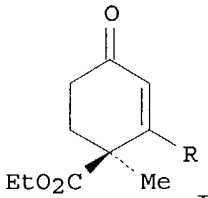


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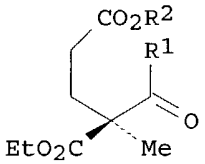



IV

AB Michael reaction of electrophilic olefins with acyclic β-enaminoester substrates derived from α-substituted β-ketoesters and (R)- or (S)-α-methylbenzylamine under neutral conditions resulted in the enantioselective formation of quaternary centers. The addition of acrolein and Me vinyl ketone to the **enaminoesters** I [(R1=H, R2=Me) = (+)-(S)- and (-)-(R)-isomers; (R1=H, R2=Et)(A) and (R1=Me, R2=Et)(B) = (+)-(S)-isomers] followed by aldol condensation of the resulting adducts produces the corresponding cyclohexenones II [(R1=CO2Me, R2=Me, R3=R4=H), (R1=CO2Et, R2=R3=Me, R4=H) and (R1=CO2Et, R2=R3=R4=Me) = (+)-(S)-isomers and (R1=Me, R2=CO2Me, R3=R4=H) = (-)-(R)-isomer] and III [(R = Me, Et) = (-)-(S)-isomers] with good optical purities. Similarly, keto diesters IV [(R1=Me, R2=Et) and (R1=Et, R2=Me) = (-)-(S)-isomers] are obtained from the reaction of Et acrylate with enaminoester (A) and of Me acrylate with (B). Transition states of these reactions were explored with the help of PM3 semi-empirical calcns. using MOPAC 6.00. The energy differences calculated between the two-competing transition states are in agreement with the observation that the alkylations take place preferentially on the less hindered side of the π-bond opposite to the Ph group.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 29

ACCESSION NUMBER: 2001:183387 CAPLUS
DOCUMENT NUMBER: 134:366383
TITLE: New auxiliaries for copper-**catalyzed** asymmetric Michael reactions: generation of quaternary stereocenters at room temperature
AUTHOR(S): Christoffers, Jens; Mann, Alexander
CORPORATE SOURCE: Institut fur Organische Chemie Universitat Stuttgart, Stuttgart, 70569, Germany
SOURCE: Chemistry--A European Journal (2001), 7(5), 1014-1027
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:366383
AB Dialkyl amides of L-valine, L-isoleucine, and L-tert-leucine are excellent chiral auxiliaries for the construction of quaternary stereocenters at ambient temperature **Enamino esters**, **prepared** from these auxiliaries and Michael donors, undergo a copper-**catalyzed** asym. Michael reaction with Me vinyl ketone to afford diketo esters in 70-90% yield and 90-99% ee (enantiomeric excess). The exclusion of moisture or oxygen is not necessary. The auxiliaries are readily available by standard procedures. After workup they can be recovered almost quant.
REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 30
ACCESSION NUMBER: 2001:259380 CAPLUS
DOCUMENT NUMBER: 135:107316
TITLE: The **synthesis** of L-proline derived hexaazamacrocyclic ligands of C3 symmetry via intramolecular methyl ester aminolysis
AUTHOR(S): Achmatowicz, M.; Jurczak, J.
CORPORATE SOURCE: Institute of Organic Chemistry, Polish Academy of Sciences, Warsaw, PL-01-224, Pol.
SOURCE: Tetrahedron: Asymmetry (2001), 12(3), 487-495
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:107316
AB A convenient **synthesis** of enantiomerically pure 18-, 21-, and 24-membered hexaaza-crown ligands is presented. Linear α,ω-**aminoesters**, **prepared** from L-proline, undergo intramol. aminolysis to afford the corresponding 18-, 21-, and 24-membered macrocyclic amides in satisfactory yields (42, 65, and 22%, resp.). These were subsequently transformed into the title macrocyclic hexamines via exhaustive **reduction** with a borane-dimethyl sulfide complex. X-ray structures of two larger macrocyclic amides are also presented.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 31
ACCESSION NUMBER: 2001:662072 CAPLUS
DOCUMENT NUMBER: 135:358239
TITLE: Experimental investigation into one-step and two-steps polymerization via Michael addition from primary amine
AUTHOR(S): He, Feng; Shooshtari, Kiarash Alavi; Collier, Harvest
CORPORATE SOURCE: Department of Chemistry, University of Missouri-Rola, MO, 65401, USA
SOURCE: Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (2001), 42(2), 335-336
CODEN: ACPPAY; ISSN: 0032-3934
PUBLISHER: American Chemical Society, Division of Polymer Chemistry
DOCUMENT TYPE: Journal; (computer optical disk)
LANGUAGE: English
AB A two-step Michael addition of n-propylamine to diacrylates was used as a synthetic route for the **preparation** of **polyaminoesters.** The reactions were carried out at low temperature in the absence of **catalysts** and solvents. The **synthesis** and structure of these polymers are presented. We also compared the phys. properties of these polymers with the one-step **synthesized** polymers.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 32
ACCESSION NUMBER: 2001:505978 CAPLUS
DOCUMENT NUMBER: 135:257399
TITLE: **Synthesis** of unsaturated C-glycosyl glycines
AUTHOR(S): Wernicke, Angelika; Sinou, Denis
CORPORATE SOURCE: Laboratoire de Synthese Asymetrique, associe au CNRS, CPE Lyon, Universite Claude Bernard Lyon 1, Villeurbanne, 69622, Fr.
SOURCE: Journal of Carbohydrate Chemistry (2001), 20(2), 181-190
CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:257399
AB Palladium(0)-**catalyzed** alkylation of 2,3-unsatd. aryl glycoside with Et nitroacetate or N-(diphenylmethylene)glycine Et ester in the presence of N,O-bis(trimethylsilyl)acetamide/KOAc afforded Et 2-(4,5-di-O-benzyl-2,3-dideoxy-α-D-erythro-hex-2-enopyranosyl)-2(R,S)-nitroacetate and Et 2-(4,6-di-O-benzyl-2,3-dideoxy-α-D-erythro-hex-2-enopyranosyl)-2(R,S)-[(N-diphenylmethylene)amino]acetate, resp. Hydrogenation of Et 2-(4,5-di-O-benzyl-2,3-dideoxy-α-D-erythro-hex-2-enopyranosyl)-2(R,S)-nitroacetate afforded the saturated **aminoesters**, while Et 2-(4,6-di-O-benzyl-2,3-dideoxy-α-D-erythro-hex-2-enopyranosyl)-2(R,S)-[(N-diphenylmethylene)amino]acetate was readily transformed into 2-(4,6-di-O-benzyl-2,3-dideoxy-α-D-erythro-hex-2-enopyranosyl)-2(R,S)-glycine by acidic hydrolysis followed by saponification
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 33
ACCESSION NUMBER: 2000:608705 CAPLUS
DOCUMENT NUMBER: 133:209645
TITLE: Efficient **synthesis** of secondary amines by selective alkylation of primary amines using cerium base **catalysts**
INVENTOR(S): Jung, Kyung Woon
PATENT ASSIGNEE(S): University of South Florida, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000050377 | A1 | 20000831 | WO 2000-US4739 | 20000225 |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 6423871 B1 20020723 US 2000-513219 20000225
PRIORITY APPLN. INFO.: US 1999-121867P P 19990226
US 1999-126151P P 19990325
US 1999-138656P P 19990614
US 1999-126108P P 19990325

AB Selective mono-N-alkylation of primary amines to produce secondary amines, that are substantially free of overalkylated tertiary amines and quaternary ammonium salts, are carried out under mild reaction conditions without the necessity of protecting groups. Secondary amines are produced by reacting an alkyl halide with an alkyl amine in anhydrous solvent, preferably DMSO or N,N-dimethylformamide, in the presence of 0.1-3 molar equivalents of a cesium base. Optionally, the extent and selectivity of mono-N-alkylation is enhanced by addition to the reaction mixture of a powdered mol. sieve material for removal of water produced by the reaction, and/or tetrabutylammonium iodide to promote halide exchange. The invention permits selective and efficient mono-N-alkylation of a wide variety of substrates at 23°; does not cause racemization when used with enantiomerically-pure chiral substrates such as L-α-**aminoesters**; and is applied to solid phase **synthesis** whereby either the alkyl amine or alkyl halide is immobilized. The **method** is addnl. used to produce polyamines, such as N-[2-(2-aminoethylthio)ethyl]ethylenediamine in 73% yield. Thus,500 mg L-leucinol was reacted with 1885 mg N,N-dibenzylisoleucinol bromide in 20 mL anhydrous DMF in the presence of 1 g activated 4Å mol. sieves and 717 mg cesium hydroxide monohydrate for 14 h to give 1005 mg dialkylamine without trialkylamine.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

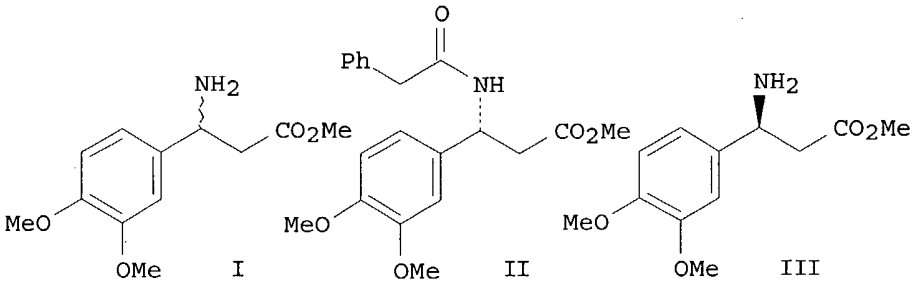
L5 ANSWER 34 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 34
ACCESSION NUMBER: 2000:410600 CAPLUS
DOCUMENT NUMBER: 133:207780
TITLE: Enantioselective Michael Reactions of Chiral Secondary **Enaminoesters** with 2-Substituted Nitroethylenes. **Syntheses** of trans,trans-2,4-Disubstituted Pyrrolidine-3-carboxylates
AUTHOR(S): Revial, Gilbert; Lim, Sethy; Viossat, Bernard; Lemoine, Pascale; Tomas, Alain; Duprat, Arthur F.; Pfau, Michel
CORPORATE SOURCE: Laboratoire de Chimie Organique, CNRS (ESA 7084) ESPCI, Paris, 75231, Fr.
SOURCE: Journal of Organic Chemistry (2000), 65(15), 4593-4600 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:207780

AB The Michael reaction of chiral 3-substituted secondary **enaminoesters** with 2-substituted nitroethylenes leads to (Z)-adducts, with good to excellent diastereoselectivity. The nitro group of these adducts was **catalytically** reduced to give, after cyclization and chiral amine elimination, pyrrolines or pyrrolidines after further **reduction** In particular, the **syntheses** of Et (2R,3S,4S)-2,4-dimethylpyrrolidine-3-carboxylate and Et (2R,3R,4S)-2-(4-methoxyphenyl)-4-(3,4-(methylenedioxy)phenyl)pyrrolidine-3-carboxylate are described. The crystal and mol. structures of Et 2-[(1S)-1-(nitromethyl)ethyl]-3-[(1R)-(1-phenylethyl)amino]but-2-enoate were determined by x-ray crystallog.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 35 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 35
ACCESSION NUMBER: 1999:571205 CAPLUS
DOCUMENT NUMBER: 131:286753
TITLE: A new route to the **synthesis** of pyrazole and pyrimidine C-nucleoside derivatives
AUTHOR(S): Morelli, Carlo F.; Manferdini, Monica; Veronese, Augusto C.
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Ferrara, I-44100, Italy
SOURCE: Tetrahedron (1999), 55(35), 10803-10814
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new route to the **synthesis** of pyrazole and pyrimidine C-nucleosides, which involves as the key step a metal promoted reaction of β-D-ribofuranosyl ketoesters with alkyl cyanoformates is described. 2,3,5-Tri-O-benzoyl-β-D-ribofuranosyl cyanide reacts with α-bromoesters, in the presence of zinc dust, to give β-D-ribofuranosyl- **enaminoesters** which are hydrolyzed with 1N hydrochloric acid to β-ketoesters. The reactions of β-ketoesters with alkyl cyanoformates, in the presence of tin(IV) chloride or of **catalytic** amts. of metal acetylacetonates, afford β-D-ribofuranosyl enaminoketoesters. These compds. react with benzylhydrazine and acetamidine to give pyrazole and pyrimidine C-nucleosides.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 36
ACCESSION NUMBER: 1999:296081 CAPLUS
DOCUMENT NUMBER: 131:45074
TITLE: Enantioselective acylation of β-**aminoesters** using penicillin G Acylase in organic solvents
AUTHOR(S): Roche, Didier; Prasad, Kapa; Repic, Oljan
CORPORATE SOURCE: Process Research & Development, Chemical & Analytical Development, Novartis Institute for Biomedical Research, East Hanover, NJ, 07936, USA
SOURCE: Tetrahedron Letters (1999), 40(19), 3665-3668
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI




AB The resolution of racemic β- **aminoesters** has been achieved through selective acylation **catalyzed** by Penicillin G Acylase (ChiroCLEC-EC). The **method** has been optimized using three different phenylacetyl donors, and the effect of solvents on the rate of reaction is described. The efficiency of this **method** is illustrated by the **synthesis** of five different β- **aminoesters** with high enantiomeric purities. For example, in the presence of **catalyst** ChiroCLEC-EC, racemic β-amino ester I reacted with PhCH2CO2Me in EtOAc/H2O to give 52% of acylated product (R)-II, and the desired, unacylated (S)-III was recovered in 46% yield with >95% enantiomeric excess.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 37

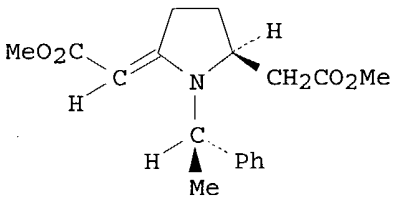
ACCESSION NUMBER: 1999:210363 CAPLUS
DOCUMENT NUMBER: 131:19168
TITLE: Enamino Ester **Reduction**: A Short Enantioselective Route to Pyrrolizidine and Indolizidine Alkaloids. **Synthesis** of (+)-Laburnine, (+)-Tashiromine, and (-)-Isoretronecanol
AUTHOR(S): David, Olivier; Blot, Jerome; Bellec, Christian; Fargeau-Bellassoued, Marie-Claude; Haviari, Gjergj; Celerier, Jean-Pierre; Lhommet, Gerard; Gramain, Jean-Claude; Gardette, Daniel
CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles UMR 7611, Universite P. et M. Curie (Boite 43), Paris, 75252, Fr.
SOURCE: Journal of Organic Chemistry (1999), 64(9), 3122-3131 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:19168

AB Various chiral pyrrolidine tetrasubstituted β- **enamino esters** were reduced **catalytically** or chemical with good to moderate diastereoselectivity owing to a chiral induction originated from (S)-α-methylbenzylamine. With endocyclic double bond compds., the best result was obtained using PtO2 as hydrogenation **catalyst** and led to a major syn addition product (e.d. 90%). In the case of exocyclic double bond compds., hydrogenation over Pd/C gave rise to the higher diastereoselectivity and mainly afforded the unexpected anti addition product (e.d. 84%). The scope of these **redns.** has been extended to the **synthesis** of three pyrrolizidine or indolizidine alkaloids: (+)-tashiromine, (+)-laburnine, and (-)-isoretronecanol.

**Syntheses** of these natural products, starting from chiral β-enamino diesters, were achieved in a short and convenient manner, leading to enantiopure compds. in good overall yields.
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 38 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 38
ACCESSION NUMBER: 1999:286864 CAPLUS
DOCUMENT NUMBER: 131:31614
TITLE: A clay **catalyzed method** for diethyl 2,2,2-trichloroethylidenepropanedioate, an efficient intermediate for the **synthesis** of **enamino esters**
AUTHOR(S): Deshmukh, A. R. A. S.; Panse, D. G.; Bhawal, B. M.
CORPORATE SOURCE: Division of Organic Chemistry (Synthesis), National Chemical Laboratory, Pune, 411 008, India
SOURCE: Synthetic Communications (1999), 29(10), 1801-1809
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:31614
AB An improved high yielding procedure for di-Et 2,2,2-trichloroethyledinepropanedioate using montmorillonite K-10 **catalyst** has been described. Various di-Et (aminomethylene)propanedioates were **synthesized** in excellent yields starting from propanedioate via addition products.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 39 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 39
ACCESSION NUMBER: 1999:126055 CAPLUS
DOCUMENT NUMBER: 130:267293
TITLE: A novel asymmetric **synthesis** of 2,5-dialkylpyrrolidines
AUTHOR(S): Daley, Valerie; D'Angelo, Jean; Cave, Christian; Mahuteau, Jacqueline; Chiaroni, Angele; Riche, Claude
CORPORATE SOURCE: Unite de Chimie Organique Associee au CNRS, Centre d'Etudes Pharmaceutiques, Universite Paris-Sud, Chttenay-Malabry, 92296, Fr.
SOURCE: Tetrahedron Letters (1999), 40(9), 1657-1660
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

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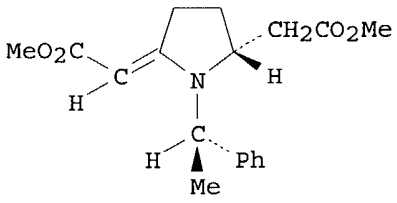


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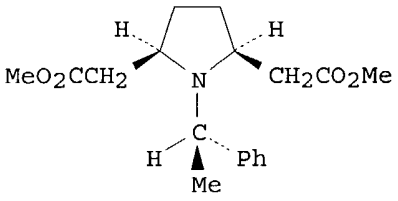


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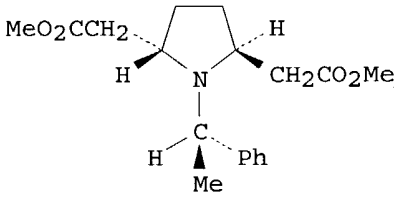



IV

AB ZnCl2-promoted cyclization of enamino ester (R,Z,E)-MeO2CCH:C(NHCHMePh)CH2CH2CH:CHCO2Me furnished a 1.5:1 mixture of pyrrolidines I and II. NaBH4-**reduction** of this mixture gave cis and trans-2,5-dialkylpyrrolidines III and IV in a 2:1 ratio. IV was obtained in its 2S,5S enantiomerically pure form.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 40 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 40
ACCESSION NUMBER: 1999:574210 CAPLUS
DOCUMENT NUMBER: 131:336564
TITLE: First Highly Diastereoselective **Synthesis** of syn α-Methyl β-Fluoroalkyl β-Amino Esters
AUTHOR(S): Fustero, Santos; Pina, Belen; Garcia de la Torre, Marta; Navarro, Antonio; Ramirez de Arellano, Carmen; Simon, Antonio
CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Farmacia, Universidad de Valencia, Burjassot, 46100, Spain
SOURCE: Organic Letters (1999), 1(7), 977-980
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:336564

AB A new two-step approach for the diastereoselective **synthesis** of syn β-amino-β-(fluoroalkyl)α-Me esters was developed. This approach is based on the chemical **reduction** of the fluorinated β- **enamino esters**, which were previously obtained from imidoyl chlorides and lithium ester enolates, with ZnI2/NaBH4 as the reducing agent. The **process** takes place with high syn diastereoselectivity and good to excellent yields. A metal-chelated six-membered model has been suggested to explain the stereochem. outcome of the **reduction** reaction. For example, (2R,3R)-rel-3-Amino-4,4,4-trifluoro-2-methylbutanoic acid Et ester was **prepared** using this approach.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 41 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 41
ACCESSION NUMBER: 1998:207299 CAPLUS

DOCUMENT NUMBER: 128:231877
TITLE: **Preparation** of biodegradable quaternary amidoaminoester fabric softeners
INVENTOR(S): Toney, Christopher Joseph; Friedli, Floyd D.
PATENT ASSIGNEE(S): Sherex Chemical Co., Inc., USA
SOURCE: U.S., 4 pp., Cont. of U.S. Ser. No. 119,321, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

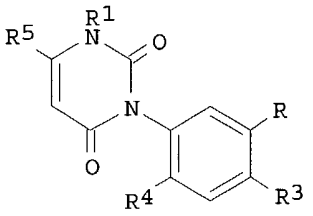
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5734069 | A | 19980331 | US 1994-307381 | 19940914 |

PRIORITY APPLN. INFO.: US 1992-926152 19920805
US 1993-119321 19930909
OTHER SOURCE(S): MARPAT 128:231877
AB The title compds RCONH(CH2)2N+(R2)(R3)(CH2)2O2CR1 X- [R, R1 = (un)branched C16-18 alkyl or alkenyl; R2 = CH2CH(OH)CH3; R3 = Me; X = Cl, Br, ethylsulfate methylsulfate, acetate, lactate, sulfate, phosphate], which exhibit useful fabric softening and static **reduction** properties, as well as biodegradability, are **prepared** Thus, (2-cyanoethyl)(2-hydroxyethyl)methylamine was hydrogenated to the corresponding diamine, camidated with a mixture of stearic, palmitic, myristic, and eicosanoic acids, and the obtained ester amide quaternized, producing a biodegradable fabric softener.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 42 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 42
ACCESSION NUMBER: 1998:208651 CAPLUS
DOCUMENT NUMBER: 128:243878
TITLE: Procedure for production of 3-aryluracils from nitrobenzenes and **enamino esters**
INVENTOR(S): Sting, Andrea Rolf; Siegrist, Urs; Studer, Martin; Baumeister, Peter
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19741411 | A1 | 19980326 | DE 1997-19741411 | 19970919 |

PRIORITY APPLN. INFO.: CH 1996-2322 19960923
OTHER SOURCE(S): CASREACT 128:243878; MARPAT 128:243878
GI



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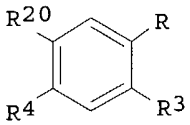


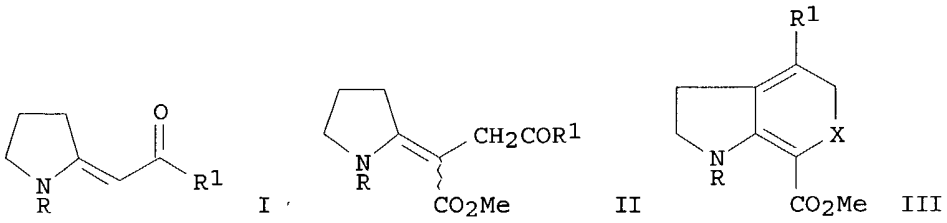
II

AB A procedure for the **preparation** of 3-aryluracils I [R = (YQ)m[C(O)]nXR2; R1 = C1-4-alkyl, C3-4-alkenyl, C3-4-alkynyl, R2 = C3-6-alkenyl, C3-6-alkynyl, C3-8-cycloalkenyl, C3-8-bicycloalkenyl, C3-6-haloalkenyl; R3 = H, halogen, C1-3-alkyl, C1-3-alkoxy, C1-3-haloalkyl, C1-3-haloalkoxy, CN, OH; RR3 = NR17C(O)(CH2)n1X3; R4 = H, F, Cl; R5 = C1-4-alkyl, C1-4-haloalkyl, C3-6-alkenyl, C3-6-alkynyl; Y = O, S, NR6, C(O)X1; X = O, S, NR7; X1 = O, S, NR8; R6, R7, R8 = C1-4-alkyl, C1-4-haloalkyl, C3-6-alkenyl, C3-6-alkynyl; m, n = 0, 1; Q = C1-10-alkyl in which C(1) or C(1) and C(2) are part of a C3-8-cycloalkyl group; n1 = 0, 1; X3 = O, S; R17 = C3-6-alkenyl, C3-6-alkynyl] consists of **catalytic reduction** of nitrobenzenes II (R20 = NO2) to anilines II (R20 = NH2), reaction of the anilines with phosgene or diphosgene to give isocyanates II (R20 = NCO), and cyclocondensation of the isocyanates with **enamino esters** R5C(NHR1):CHCO2R16 (R16 = C1-6-alkyl) is characterized by carrying out the **process** with a rhodium, ruthenium, platinum, iridium or palladium **catalyst** which has been reduced to an oxidation state lower than 5 with a phosphorus compound, in pure DMF, DMSO, MeCN, EtCN, EtOAc, THF, dioxane, N-methylpyrrolidone, Me tert-Bu ether, dimethylacetamide or PhMe or a mixture of these, and in the presence of 0.2 - 0.4 equivs. of a base selected from the alkali and alkaline earth metal h.

L5 ANSWER 43 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 43
ACCESSION NUMBER: 1998:332697 CAPLUS
DOCUMENT NUMBER: 129:67963
TITLE: A new and efficient route to the **synthesis** of pyrazole and pyrimidine C-nucleoside derivatives
AUTHOR(S): Veronese, Augusto C.; Morelli, Carlo F.
CORPORATE SOURCE: Dip. Science Farmaceutiche, Ferrara, I-44100, Italy
SOURCE: Tetrahedron Letters (1998), 39(22), 3853-3856
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new route to the **synthesis** of pyrazole and pyrimidine C-nucleosides, involving as the key step a metal **catalyzed** reaction of β-D-ribofuranosyl ketoesters with alkyl cyanoformates, is described. 2,3,5-Tri-O-benzoyl-β-D-ribofuranosyl cyanide reacts with α-bromoesters, in the presence of zinc dust, to give β-D-ribofuranosyl- **enaminoesters** which are easily hydrolyzed to β-ketoesters. The reactions of the β-ketoesters with alkyl cyanoformates, in the presence of **catalytic** amts. of [Cu(acac)2], afford C-glycosyl enaminoketoesters. These compds. react with benzylhydrazine and acetamidine to give pyrazole and pyrimidine C-nucleosides.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 44
ACCESSION NUMBER: 1998:395522 CAPLUS
DOCUMENT NUMBER: 129:175931
TITLE: A practical **synthesis** of enantiopure ethyl **cis-2-amino-1-cyclohexanecarboxylate** via asymmetric **reductive** amination methodology. [Erratum to document cited in CA127:95544]
AUTHOR(S): Xu, Daqiang; Prasad, Kapa; Repic, Oljan; Blacklock, Thomas J.
CORPORATE SOURCE: Process R and D, Chem. Anal. Dev., Novartis Pharm. Coop., East Hanover, NJ, 07936, USA
SOURCE: Tetrahedron: Asymmetry (1998), 9(10), 1635
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On page 1451, line 4 from the top, the sign of the optical rotation for compound 6 was inadvertently typed as "+"; it should read: [α]20D = -8.9 (c = 1.0, MeOH).

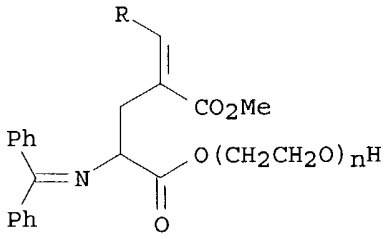
L5 ANSWER 45 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 45
ACCESSION NUMBER: 1998:379385 CAPLUS
DOCUMENT NUMBER: 129:148873
TITLE: (Alkoxycarbonyl)carbene transfer to semicyclic enaminones. A route to cyclopenta[b]pyrrole and indole ring systems
AUTHOR(S): Mueller, Andreas; Maier, Alexandra; Neumann, Ralf; Maas, Gerhard
CORPORATE SOURCE: Abteilung Organische Chemie I, Universitaet Ulm, Ulm, D-89081, Germany
SOURCE: European Journal of Organic Chemistry (1998), (6), 1177-1187
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:148873
GI




AB Cu-**catalyzed** decomposition of alkyl diazoacetates in the presence of semicyclic enaminones I (R = Me, PhCH2, Ph; R1 = aryl or R = Me; R1 = OMe, OCMe3) leads primarily to the corresponding **enamino esters** II which constitute formal products of C-C insertion of the carbene unit. In the case of I (R = Me, PhCH2; R1 = aryl), compds. II are accompanied by 2,3,5,6-tetrahydroindoles III (X = CHCO2Me), in which 2 carbene moieties are incorporated. At 250°, II (R = Me, R1 =

aryl), which could not be isolated in pure form, undergo cyclocondensation to form 1,2,3,5-tetrahydrocyclopenta[b]pyrroles III (X = bond). In contrast, II (R = PhCH2, R1 = aryl) can be isolated as Z,E mixts. and are transformed thermally into III (X = bond) only in the presence of silica gel. Carbene transfer to I (R = Ph, R1 = aryl; R = Me, R1 = OMe, OCMe3) leads only to the corresponding 1:1 adducts II, which do not undergo the cyclocondensation under the previous conditions. Dehydrogenation of tetrahydroindoles III (X = CHCO2Me; R = Me; R1 = 4-ClC6H4, 2-furyl, 2-thienyl) with tetrachloro-1,4-benzoquinone can be controlled to give either 1,2-dihydroindole-6,7-dicarboxylates or indole-6,7-dicarboxylates.

L5 ANSWER 46 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 46
ACCESSION NUMBER: 1999:71726 CAPLUS
DOCUMENT NUMBER: 130:209938
TITLE: Polyethylene glycol (PEG) as a new phase-transfer **catalyst** in the palladium-**catalyzed** Heck reaction: positive effect of the polymer in the supported **synthesis** of α-**aminoesters**
AUTHOR(S): Sauvagnat, Berengere; Lamaty, Frederic; Lazaro, Rene; Martinez, Jean
CORPORATE SOURCE: Laboratoire des aminoacides, peptides et proteines, CNRS - Universites Montpellier-I et -II, Montpellier, 34095, Fr.
SOURCE: Comptes Rendus de l'Academie des Sciences, Serie IIc: Chimie (1998), 1(12), 777-780
CODEN: CASCFN; ISSN: 1387-1609
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:209938
GI

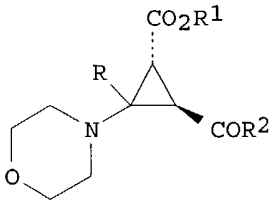



I

AB Poly(ethylene glycol) (PEG) supported substrate I (R = H) bearing a Me acrylate moiety has been subjected to a palladium-**catalyzed** Heck reaction with Ph iodide to afford glutamic acid analog I (R = Ph) with a good regio- and stereoselectivity of the double bond. It was found that PEG (present in the starting material) acts as a phase-transfer **catalyst** as well as polymer support. No further **catalyst** such as a quaternary ammonium salt is required in this reaction.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 47 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 47
ACCESSION NUMBER: 1998:341706 CAPLUS

DOCUMENT NUMBER: 129:67569
TITLE: (Alkoxycarbonyl)carbene transfer to acyclic tertiary enaminones
AUTHOR(S): Maas, G.; Mueller, A.
CORPORATE SOURCE: Abteilung Organische Chemie I, Universitaet Ulm, Ulm, D-89069, Germany
SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1998), 340(4), 315-322
CODEN: JPCCEM; ISSN: 0941-1216
PUBLISHER: Johann Ambrosius Barth
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

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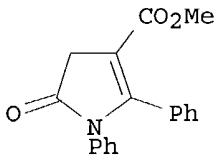


II

AB Cu-**catalyzed** (alkoxycarbonyl)carbene transfer from N2:CHCO2R (R = Me, CMe3) to acyclic **enamino esters** (E)-RR1C:CHCO2Me (R = morpholino; R1 = Me, Ph) and (E)-RPhC:CHCONHPh (R = morpholino) yields vicinal push-pull-substituted cyclopropanes I (R = Me or Ph, R1 = Me, R2 = OMe; R = Ph, R1 = CMe3, R2 = OMe; R = Ph, R1 = Me, R2 = NHPh). On contact with dry silica gel, the latter compds. undergo facile ring-opening to give **enamino esters** (E)-RPhC:C(CO2R1)CH2COR2 [R = morpholino (II) with R1 = Me, R2 = OMe; R1 = CMe3, R2 = OMe; R1 = Me, R2 = OCMe3; R1 = Me, R2 = NHPh]. Treatment with aqueous acid transforms II [R1 = Me, R2 = OMe; R1 = CMe3, R2 = OMe; R1 = Me, R2 = OCMe3] into the corresponding 2-acylsuccinates PhCOCH(CO2R1)CH2COR2 and II (R1 = Me, R2 = NHPh) into pyrrolinone III. (Methoxycarbonyl)carbene transfer to (E)-RR1C:CHCOR2 (R = pyrrolidino, R1 = R2 = Me; R = morpholino, R1 = Me or Ph, R2 = Ph) does not yield isolable cyclopropanes, but after hydrolytic workup the corresponding α-acyl γ-keto esters R1COCH(CO2Me)CH2COR2 are obtained.

L5 ANSWER 48 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 48

ACCESSION NUMBER: 1998:191359 CAPLUS
DOCUMENT NUMBER: 128:257376
TITLE: Reactivity of p-phenyl substituted β-enamino compounds using K-10/ultrasound. I. **Synthesis** of pyrazoles and pyrazolines
AUTHOR(S): Valduga, Claudete J.; Braibante, Hugo S.; Braibante, Mara E. F.
CORPORATE SOURCE: Departamento de Quimica, Universidade Federal Santa Maria, Santa Maria, 97105-900, Brazil
SOURCE: Journal of Heterocyclic Chemistry (1998), 35(1), 189-192
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:257376

AB The reactivity of the β-enamino ketones, 3-amino-1-(p-phenyl-

substituted)-2-buten-1-ones, and β- **enamino esters**, ethyl-3-amino-3-(p-phenyl-substituted)-2-propenoates, were evaluated by systematic studies of the reactions with hydrazine and methylhydrazine by reactions with solid support K-10/ultrasound and homogeneous media (reflux in ethanol or dichloromethane) yielding pyrazoles, N-methylpyrazoles, and N-methylpyrazolinones. The regiochem. of the cyclization showed dependence on the reaction conditions employed as well as on the substituents in the aromatic ring.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 49 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 49

ACCESSION NUMBER: 1997:777102 CAPLUS
DOCUMENT NUMBER: 128:61665
TITLE: Chiral cyclic esters. Part II: **synthesis** by diastereoselective **reduction** of **enamino esters**
AUTHOR(S): Blot, J.; Bardou, A.; Bellec, C.; Fargeau-Bellassoued, M.-C.; Celerier, J. P.; Lhommet, G.; Gardette, D.; Gramain, J.-C.
CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles, Associe au CNRS, Universite P. et M. Curie, Paris, 75252, Fr.
SOURCE: Tetrahedron Letters (1997), 38(49), 8511-8514
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:61665
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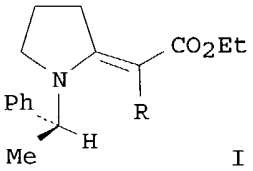


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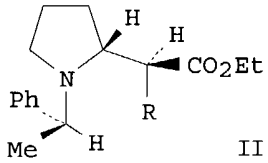


II

AB **Catalytic** and chemical **redns.** of chiral pyrrolidine 3-**enamino esters** (E/Z)-I (R = Me, Et, Pr, Bu) provides corresponding β-amino esters II with good to moderate diastereomer excesses. The unexpected major diastereomer II comes from a **redn. process** which amts. to an anti hydrogen addition

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 50 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 50

ACCESSION NUMBER: 1997:367250 CAPLUS
DOCUMENT NUMBER: 127:95544
TITLE: A practical **synthesis** of enantiopure ethyl **cis-2-amino-1-cyclohexanecarboxylate** via asymmetric **reductive** amination methodology
AUTHOR(S): Xu, Daqiang; Prasad, Kapa; Repic, Oljan; Blacklock, Thomas J.
CORPORATE SOURCE: Process R and D, Chem. Anal. Dev., Novartis Pharm. Coop., East Hanover, NJ, 07936, USA
SOURCE: Tetrahedron: Asymmetry (1997), 8(9), 1445-1451

CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:95544
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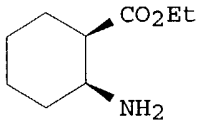

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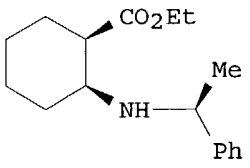

II

AB A simple and practical **method** for large scale **preparation** of optically pure title compound I was developed via a **reductive amination** of 2-oxo-cyclohexanecarboxylate with a chiral α-methylbenzylamine. The major diastereomer II was isolated in optically pure form by a simple and efficient crystallization as its HBr salt. The diastereoselectivity as well as the cis/trans selectivity was also improved.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 51 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 51
ACCESSION NUMBER: 1996:644391 CAPLUS
DOCUMENT NUMBER: 125:300280
TITLE: Comparative study of physical and chemical activation modes. The case of the **synthesis** of β-amino derivatives
AUTHOR(S): Jenner, Gerard
CORPORATE SOURCE: Lab. Piezochimie Organique, Univ. Louis Pasteur, Strasbourg, 67000, Fr.
SOURCE: Tetrahedron (1996), 52(43), 13557-13568
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The activation of the conjugate addition of amines to α,β-ethylenic substrates is considered. Pressure (phys. parameter) is a powerful tool to promote the reaction due to its effect on the nucleophilic attack on the double bond of the acrylic compound with development of zwitterionic species. Combination of pressure and lanthanide **catalysis** (chemical activation) is a highly efficient multiactivation mode, though it is unable to operate in strongly congested systems. Physicochem. activation by water considerably promotes the **synthesis** of β-amino derivs. However in the case of acrylic esters, it is of little value since the β- **aminoesters** formed undergo rapid retro-Michael reactions.

L5 ANSWER 52 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 52
ACCESSION NUMBER: 1996:440695 CAPLUS
DOCUMENT NUMBER: 125:141799
TITLE: Stereoselective **Reduction** of Enantiopure β- **Enamino Esters** by Hydride: A Convenient **Synthesis** of Both Enantiopure β-Amino Esters

AUTHOR(S): Cimarelli, Cristina; Palmieri, Gianni
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Camerino, I-62032, Italy
SOURCE: Journal of Organic Chemistry (1996), 61(16), 5557-5563
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:141799
AB The **reduction** of enantiopure β- **enamino esters** with sodium triacetoxyborohydride in acetic acid is described. This occurs with good diastereo- and enantioselectivity to yield β-amino esters (after hydrogenolysis of the N-chiral group). A model is reported for the origin of the stereoselectivity through an enol ester-diacetoxyborohydride, which affords the intramol. **reduction** By choosing the appropriate chiral amine, this procedure allows a straightforward **preparation** of both the enantiopure β-amino esters and derivs. with known biol. activity, using readily available starting materials and inexpensive reagents and conditions.

L5 ANSWER 53 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 53
ACCESSION NUMBER: 1996:489998 CAPLUS
DOCUMENT NUMBER: 125:247515
TITLE: Diastereoselective **reduction** of cyclic imines and β- **enamino esters**
AUTHOR(S): Thanh, Giang Vo; Celerier, Jean-Pierre; Fleurant, Anne; Grandjean, Cyrille; Rosset, Sylvie; Lhommet, Gerard
CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre Marie Curie, Paris, 75252, Fr.
SOURCE: Heterocycles (1996), 43(7), 1381-1384
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:247515
AB The chemical and diastereoselective **reduction** of cyclic imines and β- **enamino esters** has been investigated and exploited as an efficient **method** of **synthesis** of trans disubstituted pyrrolidines.

L5 ANSWER 54 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 54
ACCESSION NUMBER: 1997:25916 CAPLUS
DOCUMENT NUMBER: 126:89223
TITLE: Novel approach to chiral pyrrolidin-2-ylidenecarboxylates
AUTHOR(S): Sosnicki, Jacek G.; Liebscher, Juergen
CORPORATE SOURCE: Dep. Org. Chem., Tech. Univ., Szczecin, 71-065, Pol.
SOURCE: Synlett (1996), (11), 1117-1118
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:89223
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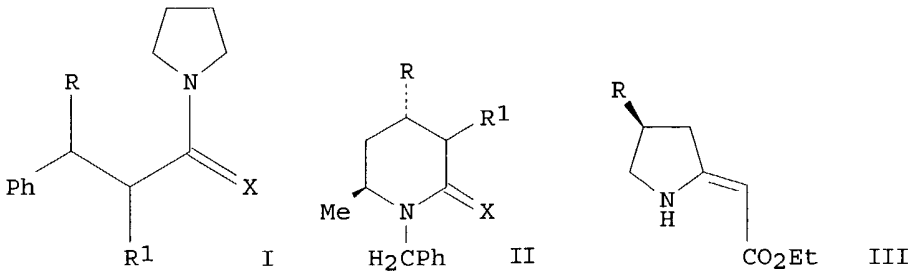


AB Michael addition of MeNO2 to α,β-unsatd. thioamide I (RR1 = bond, X = S) or α,β-unsatd. thiolactam II (RR1 = bond, X = S) gives γ-nitro thioamide I (R = CH2NO2, R1 = H, X = S) or β-nitro Me thiolactam II (R = CH2NO2, R1 = H, X = S) and a diastereomer. Subsequent transformation to β- **enamino esters** (X = CHCO2Et) by Eschenmoser reaction with bromoacetate and Et3P followed by **reduction** of the nitro group and intramol. addition of the resulting amino function to the β-position of the enamino ester moiety gives access to chiral pyrrolidinylidenecarboxylates III (R = Ph, CH2CHMeNHCH2Ph).

L5 ANSWER 55 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 55
ACCESSION NUMBER: 1995:860798 CAPLUS
DOCUMENT NUMBER: 123:257596
TITLE: Deracemization of α- **aminoesters** via pyridoxal. II. Study of copolymerization of polymerizable forms of pyridoxal. Reactivity of corresponding polymers
AUTHOR(S): Honnoraty, Anne-Marie; Mion, Louis; Russet, Alain; Taillads, Jacques; Commeryras, Auguste
CORPORATE SOURCE: Lab. Chimie Organique Heterochimie Aminoacides, Univ. Montpellier II, Montpellier, 34095, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1995), 132(7), 721-8
CODEN: BSCFAS; ISSN: 0037-8968
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: French
AB We study the terpolymn. of 2 different pyridoxal (PL) polymerizable derivs. with 3 different diluents and 1 crosslinking agent and the **catalytic** activity of the corresponding terpolymers on S-phenylalanine Me ester racemization. We discuss the choice of the diluent comonomers in the polymerization and also their concentration Thus, using 4-acryloylmorpholine as a diluting agent, we obtain a sufficiently hydrophilic polymer in an aqueous medium, and the partition coefficient of the substrate was more favorable. In addition, a terpolymer, in which the arm allowing immobilization of PL is most distant from the **catalytic** site, has the highest activity.

L5 ANSWER 56 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 56
ACCESSION NUMBER: 1995:504405 CAPLUS
DOCUMENT NUMBER: 123:257296
TITLE: β-Ketonitrile-derived protecting groups of the amino function. **Synthesis** of amino alcohols
AUTHOR(S): Abarbri, Mohamed; Guignard, Alain; Lamant, Maurice

CORPORATE SOURCE: Lab. Synthese Org., Fac. Sci., Tours, F-37000, Fr.
SOURCE: Helvetica Chimica Acta (1995), 78(1), 109-21
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 123:257296
AB The amino group of natural L-amino acid esters is protected by condensation with 2-oxocyclopentanenitrile or 2-formyl-2-phenylacetonitrile. Only the ester group of the formed **cyanoenamino esters** reacts with nucleophilic reagents such as organometallics (RMgX, RLi), borohydrides, or metal amides; the cyanoenamino group is unchanged. Cyanoenamino alcs. obtained by **reduction** of **cyanoenamino esters** are hydrolyzed under acidic conditions to amino alcs. with retention of configuration of the starting amino acid. This sequence of reactions allows the **preparation** of derivs. of L-tyrosinol from (-)-L-tyrosine. **Cyanoenamino esters** are readily methylated at the N-atom to give N-methylated **cyanoenamino esters.** A multistep procedure for **preparing** N-methylated amino alcs. homologous to natural (-)-(1R,2S)-ephedrine is given.

L5 ANSWER 57 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 57
ACCESSION NUMBER: 1994:630313 CAPLUS
DOCUMENT NUMBER: 121:230313
TITLE: Chemo- and Diastereoselective **Reduction** of β- **Enamino Esters**: A Convenient **Synthesis** of Both cis- and trans-γ-Amino Alcohols and β-Amino Esters
AUTHOR(S): Bartoli, Giuseppe; Cimarelli, Cristina; Marcantoni, Enrico; Palmieri, Gianni; Petrini, Marino
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Camerino, I-62032, Italy
SOURCE: Journal of Organic Chemistry (1994), 59(18), 5328-35
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:230313
AB Convenient procedures for the chemo- and diastereoselective **redn** . of β- **enamino esters** are described. Both cis- and trans-γ-amino alcs. or β-amino esters can be **prepd** . by **reduction** of β- **enamino esters**, readily available starting materials, with the use of inexpensive reagents Na/i-PrOH or NaHB(OAc)3/AcOH, resp., and appropriate **reduction** conditions. The mechanisms and diastereoselectivities for the **redns.** are discussed. The relative configurations and conformations of the diastereoisomeric γ-amino alcs. and β-amino esters are established by 1H and 13C NMR and by conversion to tetrahydro-1,3-oxazines.

L5 ANSWER 58 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 58
ACCESSION NUMBER: 1995:54306 CAPLUS
DOCUMENT NUMBER: 123:8782
TITLE: Diastereo and enantioselective entry to β-amino esters by hydride **reduction** of homochiral β- **enamino esters**
AUTHOR(S): Cimarelli, Cristina; Palmieri, Gianni; Bartoli, Giuseppe
CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Camerino, 62032, Italy

SOURCE: Tetrahedron: Asymmetry (1994), 5(8), 1455-8
CODEN: TASYE3; ISSN: 0957-4166
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:8782
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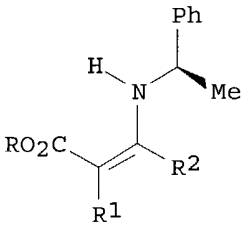


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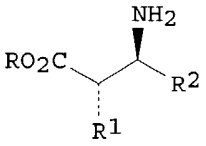


II

AB The **reduction** of homochiral β- **enamino esters** I with sodium triacetoxyborohydride which occurs with good diastereo- and enantioselectivity in the β-amino esters II, is described. This procedure allows a straightforward **preparation** of compds. with known biol. activity.

L5 ANSWER 59 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 59
ACCESSION NUMBER: 1993:495005 CAPLUS
DOCUMENT NUMBER: 119:95005
TITLE: **Preparation** of cyclic **enamino esters** as intermediates for antidepressants and brain disorder-improving agents
INVENTOR(S): Oomori, Kyoshi; Yoneda, Yasuhiro; Fuse, Kensaku
PATENT ASSIGNEE(S): Sankyo Co, Japan; Ube Industries
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 05065255 | A2 | 19930319 | JP 1991-230204 | 19910910 |
| JP 2951765 | B2 | 19990920 | | |

PRIORITY APPLN. INFO.: JP 1991-230204 19910910
OTHER SOURCE(S): CASREACT 119:95005; MARPAT 119:95005
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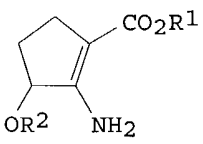


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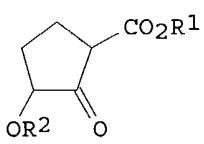


II

AB The title compds. I (R1, R2 = C1-4 alkyl), useful as intermediates for

4-(4-cyanoanilino)-6,7-dihydro-5H-cyclopenta[d]pyrimidines, are **prepared** by treatment of 3-alkoxy-2-oxocyclopentanecarboxylate esters II (R1, R2 = same as above) with ammonia in the presence of **catalysts.** Treatment of 17.2 g II (R1 = R2 = Me) with ammonium molybdate and NH3/MeOH in MeOH at 50° for 1 h gave 14.5 g I (R1 = R2 = Me), which (17.1 g) was treated with 15.8 g formamide and MeONa in BuOH at .apprx.100° for 2 h to afford 12.9 g 7-methoxy-6,7-dihydro-3H,5H-cyclopenta[d]pyrimidin-4-one.

L5 ANSWER 60 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 60
ACCESSION NUMBER: 1994:323211 CAPLUS
DOCUMENT NUMBER: 120:323211
TITLE: A new route to homochiral piperidines
AUTHOR(S): Jones, Raymond C. F.; Turner, Ian; Howard, Kevin J.
CORPORATE SOURCE: Chem. Dep., Nottingham Univ., Nottingham, NG7 2RD, UK
SOURCE: Tetrahedron Letters (1993), 34(39), 6329-32
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:323211
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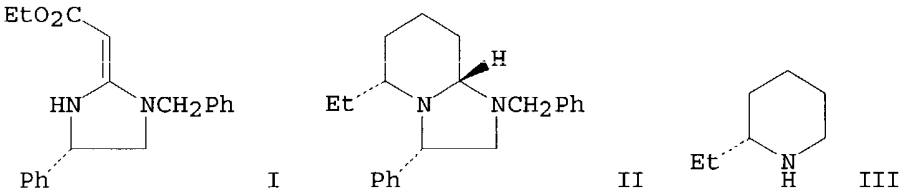


AB The **preparation** of an enantiomeric pair of **enaminoesters** from phenylglycine is described. Conjugate addition to α,β-enones, **reductive** cyclization-fragmentation to octahydroimidazopyridines and further **reduction** to remove the auxiliary atoms, completes a new route to homochiral piperidines in which the **enaminoesters** function as homochiral ethanal enamines. Cycloaddn. of Et (S)-(1-benzyl-4-phenylpyrrolidin-2-ylidene)acetate (I) [**prepared** from (S)-phenylglycine] with 1-penten-3-one gave the octahydroimidazopyridine II as a single stereoisomer. **Reduction** of II and removal of the chiral auxiliary gave (R)-2-ethylpiperidine.

L5 ANSWER 61 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 61
ACCESSION NUMBER: 1994:133798 CAPLUS
DOCUMENT NUMBER: 120:133798
TITLE: **Synthesis** in dry media coupled with microwave irradiation: application to the **preparation** of enaminoketones
AUTHOR(S): Rechsteiner, Benno; Texier-Boullet, Francoise; Hamelin, Jack
CORPORATE SOURCE: Groupe Physicochim. Struct., Univ. Rennes I, Rennes, 35042, Fr.
SOURCE: Tetrahedron Letters (1993), 34(32), 5071-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:133798
AB β-Diketones, e.g., Ac2CH2, react with a variety of amines, e.g.,

BuNH2, and **aminoesters** over clay K10 or silica under microwave irradiation in open vessels to give within a few minutes, the corresponding enaminoketones, e.g., BuNHCHMe:CHAc, with good yields. According to the reaction conditions acylamines may also result.

L5 ANSWER 62 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 62
ACCESSION NUMBER: 1993:147848 CAPLUS
DOCUMENT NUMBER: 118:147848
TITLE: Heterocycle formation through aza-annulation: a stereochemically controlled route to (±)-lupinine
AUTHOR(S): Paulvannan, K.; Schwarz, Jacob B.; Stille, John R.
CORPORATE SOURCE: Dep. Chem., Michigan State Univ., East Lansing, MI, 48824, USA
SOURCE: Tetrahedron Letters (1993), 34(2), 215-18
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:147848
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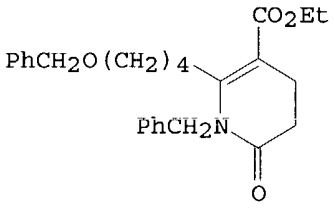


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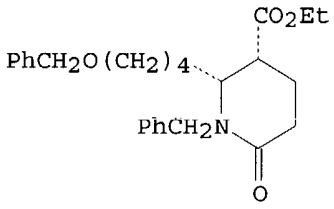


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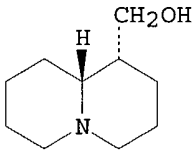


III

AB The aza-annulation of an acyclic β-enaminoester with acryloyl chloride was found to be a very efficient **method** for nitrogen heterocycle formation. Stereospecific hydrogenation of the unsatd. dihydropyridone I, generated from aza-annulation, of PhCH2O(CH2)4C(NHCH2Ph):CHCO2Et with H2C:CHCO2Et, gave a single disubstituted lactam product II. The cis stereochem. relationship of the substituents was confirmed by transformation of the lactam to (±)-lupinine III.

L5 ANSWER 63 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 63
ACCESSION NUMBER: 1992:571197 CAPLUS
DOCUMENT NUMBER: 117:171197
TITLE: Enzymic formation of lactams in organic solvents
AUTHOR(S): Gutman, Arie L.; Meyer, Elazar; Yue, Xu; Abell, Chris
CORPORATE SOURCE: Dep. Chem., Technion-Israel Inst. Technol., Haifa, 32000, Israel
SOURCE: Tetrahedron Letters (1992), 33(27), 3943-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:171197
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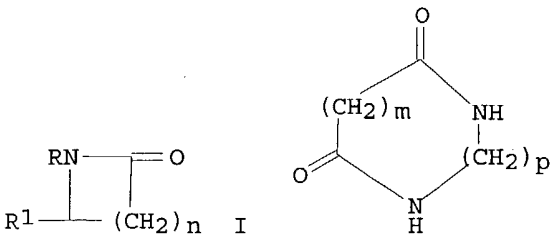

I II

AB Porcine pancreatic lipase in organic solvents **catalyzes** the intramol. cyclization of RNHCHR1(CH2)nCO2R2 (R = H, Me; R1 = H, Me, CO2Et; R2 = Et, CHMe2; n = 2-4) to lactams I and the formation of macrocyclic bislactams II (m = 8, p = 10; m = 10, p = 12) from diesters and diamines.

L5 ANSWER 64 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 64
ACCESSION NUMBER: 1992:447899 CAPLUS
DOCUMENT NUMBER: 117:47899
TITLE: Regio- and enantioselective bioreduction of ethyl 2,4-dioxoalkanoates and γ-keto-α- **enamino esters** with fermenting bakers' yeast
AUTHOR(S): Baraldi, Pier G.; Manfredini, Stefano; Pollini, Gian P.; Romagnoli, Romeo; Simoni, Daniele; Zanirato, Vinicio
CORPORATE SOURCE: Dip. di Sci. Farma., Univ. di Ferrara, Ferrara, I-44100, Italy
SOURCE: Tetrahedron Letters (1992), 33(20), 2871-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:47899
AB 2,4-Dioxoalkanoates, e.g., AcCH2COCO2Me, and the parent γ-keto-α- **enamino esters**, e.g., AcCH:C(NH2)CO2Me, are regioselectively reduced by bakers' yeast to (R)-α-hydroxy-γ-ketoesters (R)-RCOCH2CH(OH)CO2R1 (R-I; R, R1 = Me, Et, R = R1 = Me, Et), in moderate to good chemical yield and appreciable enantioselectivity. Enantioselective hydrolysis by pig liver esterase of the acetyl derivs., e.g., AcCH2CH(OAc)CO2Me, easily obtained by acetylation of racemic α-hydroxy-γ-keto esters I, produced the optically active (S)-I in good chemical (60-92%) and optical (53-92%) yield.

L5 ANSWER 65 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 65
ACCESSION NUMBER: 1992:151536 CAPLUS
DOCUMENT NUMBER: 116:151536
TITLE: An asymmetric ammonia synthon for Michael additions
AUTHOR(S): Hawkins, Joel M.; Lewis, Timothy A.
CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SOURCE: Journal of Organic Chemistry (1992), 57(7), 2114-21
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:151536
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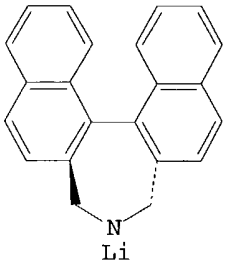


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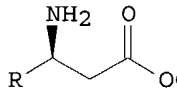


II

AB The highly diastereoselective 1,4-addition of lithioamine I to α,β-unsatd. esters, followed by hydrogenolysis of the benzylic-type C-N bonds of the 1,4-adducts, provides an asym. ammonia synthon for Michael addns. Under optimized conditions, I adds to α,β-unsatd. tert-Bu esters in dimethoxyethane at -63 °C in high yield with very high diastereoselectivity. Small, large, functionalized, and chiral β-ester substituents are amenable, with (S)-I consistently adding to (E)-RCH:CHCO2CMe3 (e.g., R = Me, (CH2)6Me, CH2CHMe2, CHMe2) from the top. Hydrogenolysis liberates the β-amino esters II with typically 95-99% enantiomeric excess.

L5 ANSWER 66 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 66
ACCESSION NUMBER: 1992:21082 CAPLUS
DOCUMENT NUMBER: 116:21082
TITLE: **Preparation** of 1,5-benzothiazepin-4(5H)-ones by alkali metal alcoholate-**catalyzed** cyclization of 3-(2-aminophenylthio)propanoate esters
INVENTOR(S): Hulshof, Lumbertus Albregt; Kuilman, Thijs
PATENT ASSIGNEE(S): Stamicarbon B. V., Neth.
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 450705 | A1 | 19911009 | EP 1991-200703 | 19910327 |
| R: BE, CH, DE, FR, GB, LI, NL | | | | |
| NL 9000763 | A | 19911016 | NL 1990-763 | 19900331 |
| JP 04221376 | A2 | 19920811 | JP 1991-64345 | 19910328 |

PRIORITY APPLN. INFO.: NL 1990-763 19900331
OTHER SOURCE(S): MARPAT 116:21082
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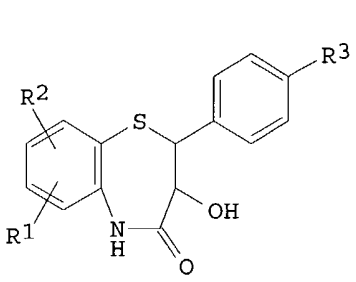

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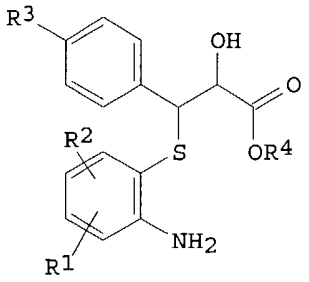
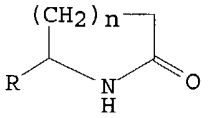


II

AB Title compds. (I; R1, R2 = H, halo, alkyl; R1R2 = CH:CHCH:CH; R3 = H, OH, alkoxy), were **prepared** by cyclization of **aminoesters** II (R4 = residual group) in the presence of an alkali metal alkanolate in an aprotic polar solvent. Thus, a -5° solution of Me (+)-(2S,3S)-2-hydroxy-3-(4-methoxyphenyl)-3-(2-aminophenylthio)propanoate in DMF was treated with solid KOCMe3; the mixture was stirred 0.5 h at 0° to give 93.9% (+)-(2S,3S)-2-(4-methoxyphenyl)-3-hydroxy-2,3-dihydro-1,5-benzothiazepin-4(5H)one.

L5 ANSWER 67 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 67
ACCESSION NUMBER: 1991:6243 CAPLUS
DOCUMENT NUMBER: 114:6243
TITLE: Stereoselective **synthesis** and stereochemical determination of 2,5-dialkylpyrrolidines and 2,6-dialkylpiperidines
AUTHOR(S): Bacos, D.; Celerier, J. P.; Marx, E.; Rosset, S.; Lhommet, G.
CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. P. et M. Curie, Paris, F-75252, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(5), 1387-92
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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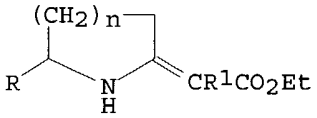

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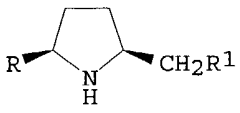
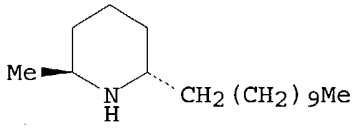


III



IV

AB ω-Alkyllactams I (n = 1, 2, R = H, Me) can be transformed into ω-alkyl cyclic β- **enaminoesters** II [R1 = octyl, decyl, tetradecyl, CH2:CH(CH2)8] which are good precursors of insects venom alkaloids. A stereoselective **synthesis** of dialkylpyrrolidines, e.g., III, and Solenopsine A (IV) is described and stereochem. is determined by 13C NMR.

L5 ANSWER 68 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 68
ACCESSION NUMBER: 1990:631194 CAPLUS
DOCUMENT NUMBER: 113:231194
TITLE: New synthetic approach to 1-azabicyclo[x.y.0]alkane skeletons from β-enamino diesters derived from Meldrum's acid
AUTHOR(S): Haddad, Mansour; Celerier, Jean Pierre; Haviari, Gjergj; Lhommet, Gerard; Dhimane, Hamid; Pommelet, Jean Claude; Chuche, Josselin
CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre et Marie Curie, Paris, 75252, Fr.
SOURCE: Heterocycles (1990), 31(7), 1251-60
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:231194
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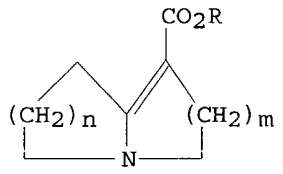

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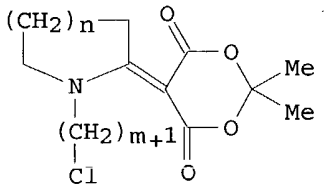

II

AB The title compds. I (R = Me, Et; n,m = 1-3) were **prepared** by decarboxylation and transesterification of β- **enamino esters** II (same m,n) followed by intramol. cyclization or I were obtained directly by flash thermolysis of II. I (R = Et; n = 1-3; m = 1,2) were stereospecifically converted into β-amino alcs., i.e. lupinine, isoretronecanol, epilupinine, or trachelanthamidine.

L5 ANSWER 69 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 69
ACCESSION NUMBER: 1990:478338 CAPLUS
DOCUMENT NUMBER: 113:78338
TITLE: Reactivity of cyclic β- **enamino esters** derived from quinoxaline
AUTHOR(S): Essassi, El Mokhtar; Ferfra, Souad; Salem, Moussa; Zniber, Rachid
CORPORATE SOURCE: Dep. Chim., Fac. Sci., Rabat, Morocco
SOURCE: Bulletin des Societes Chimiques Belges (1990), 99(1), 47-60
CODEN: BSCBAG; ISSN: 0037-9646
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 113:78338
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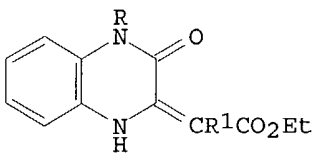
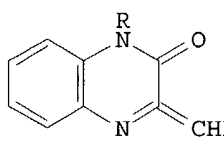


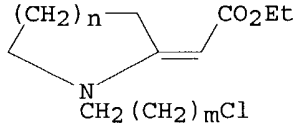
I



II

AB Phase-transfer-**catalyzed** alkylation of (carbethoxymethylene)quinoxalinones I (R = H, Me; R1 = H) with alkyl halides gave I (R = Me, R1 = Me, Et; R = R1 = Et). Bromination gave (carbethoxybromomethyl)quinoxalinones II (R = H, Me), which were treated with KCN, $NaNO_2$, or $NaN_3$ to give I (R1 = cyano, $NO_2$, $N_3$).

L5 ANSWER 70 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 70
ACCESSION NUMBER: 1990:198863 CAPLUS
DOCUMENT NUMBER: 112:198863
TITLE: Bicyclic β- **enamino esters**: **synthesis** and stereospecific **reduction**. Access to isoretronecanol, trachelanthamidine, lupinine, and epilupinine
AUTHOR(S): Celerier, J. P.; Haddad, M.; Saliou, C.; Lhommet, G.; Dhimane, H.; Pommelet, J. C.; Chuche, J.
CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre et Marie Curie, Paris, 75252, Fr.
SOURCE: Tetrahedron (1989), 45(19), 6161-70
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 112:198863
GI



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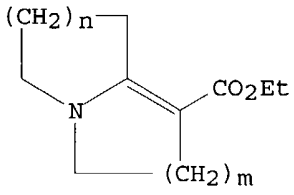

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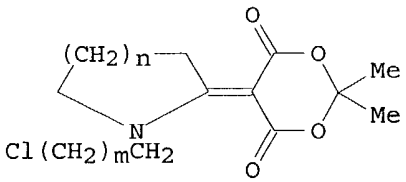

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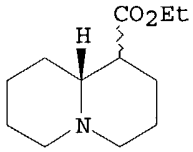
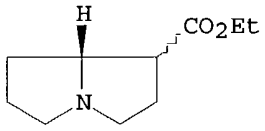


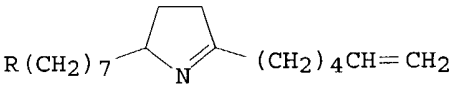
IV



V

AB The functionalized N-alkyl-β-enamioesters I (n = m = 1, 2) are precursors of nitrogen-bridged bicyclic β- **enaminoesters** II. II are **prepared** either by intramol. alkylation of β- **enaminoesters** II or by thermolysis of β- **enaminoesters** III. Stereospecific **reduction** of compds. II under thermal control leads to bicyclic β- **aminoesters** IV and V which are good precursors of natural aminoalcs. like lupinine or isoretronecanol.

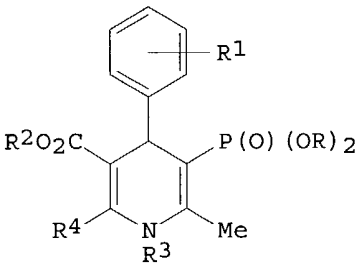
L5 ANSWER 71 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 71
ACCESSION NUMBER: 1988:567540 CAPLUS
DOCUMENT NUMBER: 109:167540
TITLE: Ant venom alkaloids from Monomorium species, natural insecticides
AUTHOR(S): Bacos, D.; Basselier, J. J.; Celerier, J. P.; Lange, C.; Marx, E.; Lhommet, G.; Escoubas, P.; Lemaire, M.; Clement, J. L.
CORPORATE SOURCE: Lab. Chim. Org. Struct., Univ. P. et M. Curie, Paris, 75232, Fr.
SOURCE: Tetrahedron Letters (1988), 29(25), 3061-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:167540
GI



I, R=CH=CH$_2$
II, R=Et

AB 2,5-Disubstituted pyrrolines (I and II) were isolated from Monomorium ant venom. Their structural determination (by IR, and 1H- and 13C NMR, and mass spectroscopy), **synthesis**, and biol. activity were described. Both I and II exhibited a strong paralyzing action towards termites and different insect species (Locusta migratoria, Pieris napi, and Musca domestica), but had very low toxicity against Monomorium.

L5 ANSWER 72 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 72
ACCESSION NUMBER: 1989:23995 CAPLUS
DOCUMENT NUMBER: 110:23995
TITLE: **Syntheses** and antihypertensive activities of 1,4-dihydropyridine-5-phosphonate derivatives. III
AUTHOR(S): Morita, Iwao; Haruta, Yuko; Tomita, Toshio; Tsuda, Masami; Kandori, Kazuhisa; Kise, Masahiro; Kimura, Kiyoshi
CORPORATE SOURCE: Res. Lab., Nippon Shinyaku Co., Ltd., Kyoto, 601, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(12), 4819-28
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:23995
GI



I

AB Phenyldihydropyridinephosphonates I [RR = (CH2)3, CH2CMe2CH2; R = CO2Me, allyl; R1 = 2-NO2, 2-CF3, 2-OCHF2, 3-NO2; R2 = Me, CH2CHMe2, CH2CH2OCH2Ph, CH2CH2OMe, CH2CH2NMeCH2Ph, allyl; R3 = Me, Et, Pr, allyl, CH2Ph, CH2CH2OMe, NMe2; R4 = Me] were **prepared** by the cyclocondensation reaction of R3NHCMe:CHCO2R2 with R1C6H4CH:CAcP(O)(OR)2 (II). I [R = allyl, RR = (CH2)3; R1 = 2-NO2, 3-NO2, 2-CF3; R2 = Me; R3 = H; R4 = CH(OMe)2] were **prepared** similarly by the reaction of II with (MeO)2CHC(NH2):CHCO2Me. I [R4 = CH(OMe)2] was deprotected to give I (same R-R3; R4 = CHO). The latter were converted to I (R4 = CH2OH, CH:NOH, cyano). I were all tested for antihypertensive activity in normotensive and spontaneously hypertensive rats. I [RR = (CH2)3, R1 = 2-NO2, R2 = Me, R3 = R4 = Me] shows higher antihypertensive activity than nifedipine, but lower than DHP-218.

L5 ANSWER 73 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 73
ACCESSION NUMBER: 1988:149991 CAPLUS
DOCUMENT NUMBER: 108:149991
TITLE: **Synthesis** of (-)-(1R,2S)-norephedrine homologs
AUTHOR(S): Lamant, Maurice; Guignard, Alain
CORPORATE SOURCE: Lab. Chim. Org. II, Fac. Sci., Nantes, F-44000, Fr.
SOURCE: Helvetica Chimica Acta (1987), 70(5), 1279-85
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 108:149991
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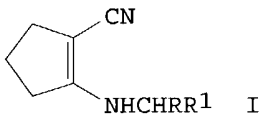
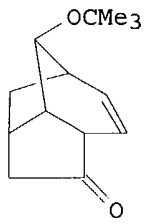


I

AB **Cyanoenamino esters** I (R = Me, CHMe2, CH2CHMe2, CHMeEt, CH2CH2SMe; R1 = CO2Et) of L-amino acids were converted to norephedrine homologs (1R,2S)-H2NCHRCHPhOH (II) in 4 steps. Amidation of I (R1 = CO2Et) with piperidine followed by reaction with PhLi gave enaminomethyl Ph ketones, which were stereoselectively reduced with NaBH4 to give mainly (R = Me, CH2CHMe2) or exclusively (R = CHMe2, CHMeEt, CH2CH2SMe) erythro-enaminomethyl Ph carbinols I (R1 = CHPhOH). Hydrolysis of the enamine function then gave II.

L5 ANSWER 74 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 74
ACCESSION NUMBER: 1987:515820 CAPLUS
DOCUMENT NUMBER: 107:115820
TITLE: Total **synthesis** of C19-diterpene alkaloids: construction of a functionalized BCD-ring system
AUTHOR(S): Van Beek, G.; Van der Baan, J. L.; Klumpp, G. W.; Bickelhaupt, F.
CORPORATE SOURCE: Scheikd. Lab., Vrije Univ. Amsterdam, Amsterdam, 1081 HV, Neth.
SOURCE: Tetrahedron (1986), 42(18), 5111-22
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:115820
GI



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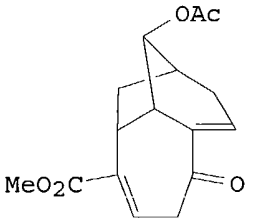

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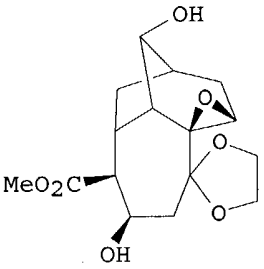

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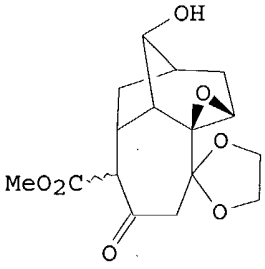


IV

AB The construction of the BCD-ring system of C19-diterpene alkaloids was initiated by development of a ring expansion reaction of cyclic **enamino-esters** with propiolic acid esters, leading from the 5-membered ring ketone I to the 7-membered ring ketone II. Epoxidn. and stereospecific **reductive** epoxide ring opening to give hydroxy ester III were subsequent key-steps which eventually furnished cyclic β-keto ester IV. This versatile intermediate has a full potential of functional groups suited for further elaboration into the A-, E- and F-rings and substituents of a variety of C19-diterpene alkaloids.

L5 ANSWER 75 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 75
ACCESSION NUMBER: 1986:442703 CAPLUS
DOCUMENT NUMBER: 105:42703
TITLE: Heterocyclic β- **enamino esters**. 41. Vinologous principle on 6,7-dihydro-1H-azepines; cycloaddition and novel rearrangement to 2,3,3a,7a-tetrahydroindoles. Thermal [2 + 2]cycloadditions with 4-substituted 1,2,4-triazoline-3,5-dione
AUTHOR(S): Wamhoff, Heinrich; Fassbender, Franz Josef; Hendrikx,

Georg; Puff, Heinrich; Woller, Petra
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1986), 119(7), 2114-26
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 105:42703
GI

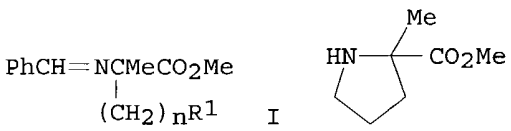
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The conjugated π-systems of 6,7-dihydro-oxepines, -thiepines, and -azepines, e.g., I, II, and III [R = Ph3P:N (IV), H2N (V)] show a remarkable alternation of their 13C NMR shifts. In a cycloaddn.-ring enlargement sequence IV reacts with R1O2CC.tplbond.CCO2R1 (R1 = Me, Et) at the 4,5-double bond to afford first intermediary 8,9-dihydro-1H-azonines which yield indoles via thermal 6π-electron cyclization and subsequent rearrangement gives 2,3, 3a,7a-tetrahydroindoles VI. The structure of VI (R1 = Me) was established by x-ray diffraction. A polar [2+2]-cycloaddn. of 1,2,4-triazoline-3,5-diones with IV and V gave the [1,2,4]triazolo[1',2':1,2]diazet[3,4-d]azepines VII (R2 = Me, Ph), and with a hexamethylenebis[bis-TAD triazolinedione] a 2:1-adduct was formed. Treatment of VII (R = Ph3P:N, R2 = Me) with PhNCO gives a carbodiimide which is converted by base **catalyzed** ring closure into the pyrimido[4,5-b]azepine VIII.

L5 ANSWER 76 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 76
ACCESSION NUMBER: 1987:423050 CAPLUS
DOCUMENT NUMBER: 107:23050
TITLE: **Synthesis** of **enamino esters**
AUTHOR(S): Sosnovskikh, V. Ya.; Ovsyannikov, I. S.; Nikol'skii, A. L.
CORPORATE SOURCE: Ural. Gos. Univ., Sverdlovsk, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1986), 22(8), 1775-6
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 107:23050
AB Reaction of RCN (R = Ph, 4-MeOC6H4) with AcOR1 (R1 = CMe3, CHMe2, Et) in Et2O-PhMe containing Et2NMgBr gave 18-36% title compds., H2NCR:CCO2R1 (same R, R1).

L5 ANSWER 77 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 77
ACCESSION NUMBER: 1986:497899 CAPLUS
DOCUMENT NUMBER: 105:97899
TITLE: Reaction of Schiff base anions with α,ω-dihaloalkanes: synthetic route to cyclic α-amino acid derivatives
AUTHOR(S): Joucla, M.; El Goumzili, M.
CORPORATE SOURCE: Groupe Rech. Physicochim. Struct., CNRS, Rennes, 35042, Fr.
SOURCE: Tetrahedron Letters (1986), 27(15), 1681-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

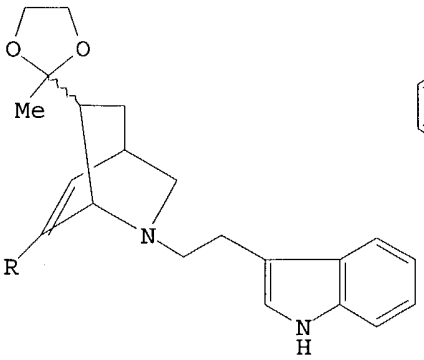
OTHER SOURCE(S): CASREACT 105:97899
GI




AB Schiff base anions of α- **aminoesters**, obtained from LDA/THF, underwent copper-**catalyzed** substitution reactions with α,ω-dihaloalkanes to give ω-haloalkylimines, which were converted to cyclic α-amino acid derivs. under anionic and thermal conditions. Thus, PhCH:NCHMeCO2Me was converted into the anion, which was alkylated with R(CH2)nR1 (R, R1 = Cl, Br; n = 1, 2, 3) in the presence of a Cu **catalyst**, e.g. CuCl2 or Li2CuCl4, to give the corresponding alkylated derivs. I. I (n = 3, R1 = Cl) was refluxed in THF containing NaI to give cyclic amino acid II.

L5 ANSWER 78 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 78
ACCESSION NUMBER: 1986:207628 CAPLUS
DOCUMENT NUMBER: 104:207628
TITLE: Electrolysis of substituted α-azidocinnamic and azidoacrylic esters. Electrolytic studies on vinyl azides. III
AUTHOR(S): Knittel, Dierk
CORPORATE SOURCE: Inst. Phys. Chem., Univ. Hamburg, Hamburg, D-2000/13, Fed. Rep. Ger.
SOURCE: Monatshefte fuer Chemie (1985), 116(10), 1133-40
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 104:207628
AB Title azido esters RCH:C(N3)CO2Me (R = substituted Ph, 2-furyl, 2-thienyl, N-benzyl-3-indolyl) underwent cathodic **reduction** under aprotic and protic conditions. Good to excellent yields of rather labile dehydroamino acid derivs. and stable N,N-diacylated **enaminoesters** were selectively obtainable.

L5 ANSWER 79 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 79
ACCESSION NUMBER: 1983:595263 CAPLUS
DOCUMENT NUMBER: 99:195263
TITLE: A novel synthetic approach to reserpine based upon amino-Claisen rearrangements of zwitterionic N-vinylisoquinuclidenes
AUTHOR(S): Kunng, Fen Ann; Gu, Jia Ming; Chao, Schouchung; Chen, Yuhpyng; Mariano, Patrick S.
CORPORATE SOURCE: Dep. Chem., Univ. Maryland, College Park, MD, 20742, USA
SOURCE: Journal of Organic Chemistry (1983), 48(23), 4262-6
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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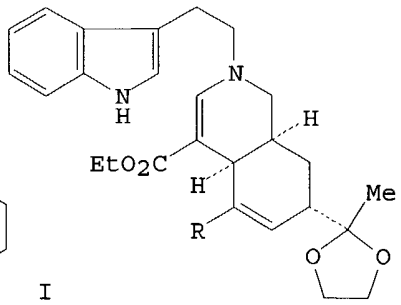
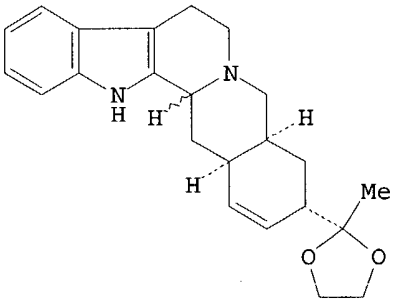


II



III

AB The development of a general hydroisoquinoline synthetic methodol. based on amino-Claisen rearrangements of zwitterionic N-vinylisoquinuclidenes was described. (Indolylethyl)isoquinuclidenes I (R = H, CO2Me) undergo reactions with Et propiolate to afford the cis-fused hydroisoquinolines II. Mechanisms involving reversible formation of dipolar N-vinylisoquinuclidenes and stepwise conversion to isoquinolines are discussed. The overall synthetic utility of this **process** coupled with Wenkert cyclization of the hydroisoquinoline β-**enamino esters** in routes to reserpines is demonstrated by the **preparation** of the pentacyclic system III.

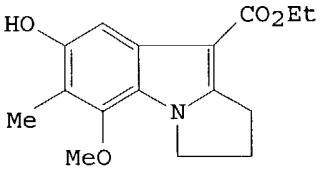
L5 ANSWER 80 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 80
ACCESSION NUMBER: 1983:110351 CAPLUS
DOCUMENT NUMBER: 98:110351
TITLE: Lubricant for cold metalworking
INVENTOR(S): Markov, V. I.; Bychkova, N. F.; Breskina, A. I.; Shcherbak, R. Ya.; Korobochkin, I. Yu.; Tarasenko, V. A.; Uvarova, R. E.; Trambai, L. Ya.; Shlyakhovoi, A. A.; Kuznetsova, E. A.
PATENT ASSIGNEE(S): Dnepropetrovsk Chemical-Technological Institute, USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1982, (39), 134.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| SU 968060 | A1 | 19821023 | SU 1981-3308794 | 19810619 |

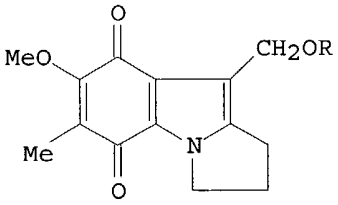
PRIORITY APPLN. INFO.: SU 1981-3308794 19810619

AB A lubricant for metalworking was **prepared** by the esterification of fatty acid wastes from the sebacic acid production in the presence of an acid **catalyst.** A product with good antifriction properties was obtained by reacting mono-, di- or triethanolamine, or N,N,N1,N1-tetrakis(2-hydroxypropyl)ethylenediamine with tri-Bu phosphate and then esterifying the fatty acid residues from sebacic acid production with it at 120-160 in the presence of HF as the **catalyst.**

L5 ANSWER 81 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 81
ACCESSION NUMBER: 1983:4424 CAPLUS
DOCUMENT NUMBER: 98:4424
TITLE: Expeditious **synthesis** of 2,3-dihydro-1H-pyrrolo[1,2-a]indoles, pyrroloindole quinones, and related heterocycles via Nenitzescu-type condensation of quinone monoketals with exocyclic **enamino esters**
AUTHOR(S): Coates, Robert M.; MacManus, Patrick A.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Journal of Organic Chemistry (1982), 47(24), 4822-4
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:4424
GI




II




III

AB Condensation of the Na salt of Et pyrrolidinylidene-2-acetate (I) with 3-methoxy-2-methylquinone 4-monoketal produced a bridged bicyclic Michael adduct, which underwent acid-**catalyzed** aromatization to the pyrrolo[1,2-a]-indolecarboxylate II. II was also **prepared** by condensation of I with 3-methoxyquinone 4-monoketal, in situ methylation, and acid-**catalyzed** rearrangement. Similar modified Nenitzescu reactions of the quinone monoketals with 5-, 6-, and 7-membered exocyclic **enamino esters** gave a series of [1,2-a]annelated 5-methoxyindole-9-carboxylates. II was converted to decarbamoyl 7-methoxymitosene (III, R = H) in 49% overall yield by Fremy salt oxidation to the o-quinone, ether cleavage with BBr3, methylation with CH2N2 to the 7-methoxypyrroloindoloquinone, and **reduction** with LiAlH4 followed by reoxidn. to the quinone III (R = H). Attachment of the carbamate group afforded 7-methoxymitosene (III, R= CONH2).

L5 ANSWER 82 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 82
ACCESSION NUMBER: 1982:217781 CAPLUS
DOCUMENT NUMBER: 96:217781
TITLE: Heterocyclic β- **enamino esters** . 29. Base **catalyzed** N-methylene linkage with formaldehyde - new bis(1,3-oxazines)
AUTHOR(S): Wamhoff, Heinrich; Hendrikx, Georg; Ertas, Mumtaz
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1,

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|---|---|
| | Fed. Rep. Ger. |
| SOURCE: | Liebigs Annalen der Chemie (1982), (3), 489-98<br>CODEN: LACHDL; ISSN: 0170-2041 |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | German |
| OTHER SOURCE(S): | CASREACT 96:217781 |
| GI | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Enamine esters and nitriles I (R = CO2Et, cyano), II, and III were coupled with HCHO to give 19-82% the corresponding methylenediamines, e.g. IV. Pyrazoline V gave the 2:2 adduct VI. I (R = CO2Et) condensed with MeCHO to give the corresponding methylmethylenediamine. Me and Et 3-aminocrotonates and HCHO gave dihydropyridine VII (R1 = Me, Et). IV (R = CO2Et) reacted with (CH2COCl)2 to give the CH2 elimination product VIII; I (R = CO2Et) gave only polymeric products. IV (R = CO2Et) did not react with o-C6H4(COCl)2, but I (R = CO2Et) gave the 2-phthalimido analog. Diamides IX-XII, **prepared** from the corresponding amines, cyclized on treating with Ph3PCl2 to give bis(oxazines) XIII (Z the same) and XIV.

L5 ANSWER 83 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 83

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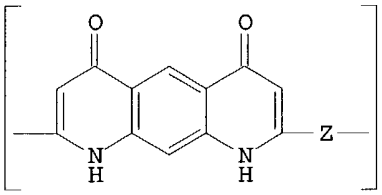
|---|---|
| ACCESSION NUMBER: | 1981:31110 CAPLUS |
| DOCUMENT NUMBER: | 94:31110 |
| TITLE: | **Polyenaminoesters** from α,α'-bis(carbomethoxy)diacetylbenzenes and phenylene diamines |
| AUTHOR(S): | Moore, J. A.; Mitchell, T. D. |
| CORPORATE SOURCE: | Dep. Chem., Rensselaer Polytech. Inst., Troy, NY, 12181, USA |
| SOURCE: | Journal of Polymer Science, Polymer Chemistry Edition (1980), 18(10), 3029-41<br>CODEN: JPLCAT; ISSN: 0449-296X |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | English |
| GI | |

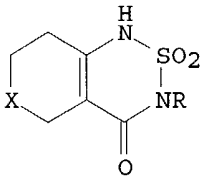



I

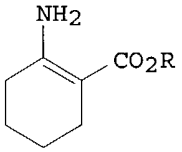
AB The title polymers were **prepared** by heating m- or p-phenylenediamine [106-50-3] with p-C6H4(COCH2CO2Me)2 [76020-30-9] or the m-isomer [75160-05-3] in EtOH or N-methylpyrrolidinone containing Me2NPh.HCl [5882-44-0]. The copolymers were cyclized by a Conrad-Limpach reaction to give the polymers I (Z = m-C6H4 [75160-09-7], Z = p-C6H4 [75160-11-1]). 1,4-Bis[4-oxo-(1H)-2-quinolyl]benzene [76020-31-0] and 1H,6H-4,9-dioxo-2,7-diphenylpyrido[2,3-g]quinoline [24346-88-1] were **prepared** as model compds.

L5 ANSWER 84 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 84
ACCESSION NUMBER: 1979:523624 CAPLUS
DOCUMENT NUMBER: 91:123624
TITLE: Lactim ether chemistry. Cyclic β-enamino ester **synthesis**
AUTHOR(S): Celerier, Jean Pierre; Deloisy, Elisabeth; Lhommet, Gerard; Maitte, Pierre
CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre et Marie Curie, Paris, 75230, Fr.
SOURCE: Journal of Organic Chemistry (1979), 44(17), 3089
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 91:123624
GI For diagram(s), see printed CA Issue.
AB A facile **synthesis** of enamine esters I (n = 3, 4, 5) in overall yields of 57, 31, and 33%, resp., was described. Reaction of lactim ethers II (n the same) with isopropylidene malonate [in the presence of piperidinium acetate **catalyst** for II (n = 5)] gave 94, 76, and 58%, resp., malonates III, which were cleaved with NaOEt to give 91, 60, and 82%, resp., I (n = 3, 4, 5).

L5 ANSWER 85 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 85
ACCESSION NUMBER: 1978:579964 CAPLUS
DOCUMENT NUMBER: 89:179964
TITLE: Cyclic sulfamides: **synthesis** of some fused tetrahydrobenzo- and tetra- and dihydroheterothiadiazinone 2,2-dioxides
AUTHOR(S): Kloek, James A.; Leschinsky, Kindrick L.
CORPORATE SOURCE: Res. Dep., Monsanto Agric. Prod. Co., St. Louis, MO, USA
SOURCE: Journal of Organic Chemistry (1978), 43(20), 3824-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:179964
GI



I, X=$CH_2$, R=$CHMe_2$
II, X=S, R=Me
III, X=NAc, R=Me

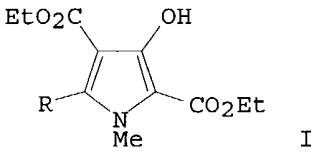


IV

AB General **methods** for the **synthesis** of the title compds. (I-III) are described. The 2 key steps in these **synthesis** are the regiospecific sulfamoylation of primary **enamino esters** IV (R = Et, Me3C) and an acid-**catalyzed** ring closure procedure which offers distinct advantages over existing **methods.** Thus the title compds. bearing bulky alkyl groups on N-3 are available in high yield from available β-keto esters.

L5 ANSWER 86 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 86
ACCESSION NUMBER: 1977:439239 CAPLUS
DOCUMENT NUMBER: 87:39239

TITLE: **Synthesis** of some 3-hydroxy-5-pyridylpyrrole derivatives
AUTHOR(S): Campaigne, E.; Shutske, G. M.; Payne, John C.
CORPORATE SOURCE: Chem. Lab., Indiana Univ., Bloomington, IN, USA
SOURCE: Journal of Heterocyclic Chemistry (1977), 14(2), 329-31
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:39239
GI



I

AB MeNHCH2CO2Et added to (2-, 3-, and 4-pyridylmethylidene)malonates to give Michael adducts, which were cyclized to oxopyrrolidine diesters under Dieckmann conditions. Mild oxidation converted the crude adducts to the isomeric 1-methyl-3-hydroxy-5-pyridylpyrrole-2,4-dicarboxylates I (R = 2-, 3-, 4-pyridyl). Addition of H2NCH2CO2Et to Et picolinoylacetate or nicotinoylacetate gave the corresponding **enamino esters**, which did not cyclize under standard Dieckmann conditions.

L5 ANSWER 87 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 87
ACCESSION NUMBER: 1978:18083 CAPLUS
DOCUMENT NUMBER: 88:18083
TITLE: Comparative analysis of the effect of low-molecular-weight substrate fragments and their analogs on the activity of phospholipases A2 from pig pancreas and cobra and bee venoms
AUTHOR(S): Litvinko, N. M.; Khurgin, Yu. I.; Kaverzneva, E. D.; Akhrem, A. A.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Vestsi Akademii Navuk BSSR, Seryya Khimichnykh Navuk (1977), (5), 105-13
CODEN: VBSKAK; ISSN: 0002-3590
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The inhibitory effect of alkylammonium compds. (R1R2R3R4N+), amino acids, peptides, **aminoesters** of benzoic acid, and choline analogs, [(Me)3N+(CH2)nXR]·Y, on the activity of phospholipase A2 from pig pancreas, cobra venom, and bee venom was studied using a gel diffusion **method** in lecithin-agarose gel. The results indicate that there are 3 centers in the phospholipase A2 active site: a **catalytic** (esterase) center, a cationic center, and an anionic center. The pancreatic and snake venom enzymes showed some similar reaction characteristics, whereas the bee enzyme showed greater differences. The contribution of each subsite in substrate interaction apparently differs in enzymes from different sources.

L5 ANSWER 88 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 88
ACCESSION NUMBER: 1976:422229 CAPLUS
DOCUMENT NUMBER: 85:22229
TITLE: Polarographic study of the copolymerization of

unsaturated polyesters in the presence of amino compounds
AUTHOR(S): Kozyreva, N. G.; Kiseleva, V. M.; Grad, N. M.; Al'shits, I. M.
CORPORATE SOURCE: USSR
SOURCE: Zhurnal Prikladnoi Khimii (Sankt-Peterburg, Russian Federation) (1976), 49(4), 920-1
CODEN: ZPKHAB; ISSN: 0044-4618
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Crosslinking of ethylene glycol-maleic anhydride-phthalic anhydride polymer (I) [27837-75-8] with triethylene glycol dimethacrylate [109-16-0] is significantly affected by the composition of the initator systems containing **aminoesters** of methacrylic acid as components. A polarog. **method** was used for determination of the crosslinking degree of I in the presence of **catalysts** containing dicumyl peroxide and Co complex with triethanolamine trimethacrylate [13884-43-0], (diethylamino)ethyl methacrylate [105-16-8] or (phenylamino)ethyl methacrylate [19288-59-6]. An increase in the crosslinking degree was observed in the presence of amino esters of a higher alkalinity, forming complexes with Co.

L5 ANSWER 89 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 89
ACCESSION NUMBER: 1975:479191 CAPLUS
DOCUMENT NUMBER: 83:79191
TITLE: Heterocyclic β- **enamino esters**. 14. Heterocondensed indoles by reaction of ethyl 2-amino-3-indolecarboxylate with imidates, lactim ethers, and 1,3-dicarbonyl compounds
AUTHOR(S): Wamhoff, Heinrich; Wehling, Bernhard
CORPORATE SOURCE: Org.-Chem. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1975), 108(6), 2107-14
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 83:79191
GI For diagram(s), see printed CA Issue.
AB Indolecarboxylate I reacted with imidates RC(:NH)OEt (R = Ph, PhCH2) with polyphosphoric acid **catalysis** to give pyrimido[4,5-b]indoles II. I and lactim ethers III (n = 1-3) gave pyrrolo-, pyrido-, and azepino[1',2':1,2]pyrimido[4,5-b]indoles IV. I cyclizes with dicarbonyl compds. (EtO2C)2CH2. MeCOCH2CO2Et, (MeCO)2CH2, and NCCH2CO2Et to pyrimido[1,2-a]indoles give V, VI, VII, and VIII, resp.

L5 ANSWER 90 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:480063 CAPLUS
DOCUMENT NUMBER: 83:80063
TITLE: Water-soluble anion exchange resins based on methacrylic acid β- **aminoesters**
AUTHOR(S): Korshak, V. V.; Tevlina, A. S.; Skripchenko, N. I.; Strakhovskaya, I. G.; Kovaleva, N. B.
CORPORATE SOURCE: Mosk. Khim.-Tekhnol. Inst. im. Mendeleeva, Moscow, USSR
SOURCE: Vysokomolekulyarnye Soedineniya, Seriya B: Kratkie Soobshcheniya (1975), 17(5), 401-4
CODEN: VYSBAI; ISSN: 0507-5483
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The concentration and degree of alkylation of water-soluble anion exchangers, **prepared** from polymers of β-amino esters of methacrylic acid degree alkylation with dimethyl sulfate [77-78-1] in presence of methyl

p-toluenesulfonate [80-48-8] were determined by UV spectroscopy. Alkylated poly[2-(diethylamino)ethyl methacrylate] [25119-82-8] and poly[1,3-bis(dimethylamino)isopropyl methacrylate] [29032-41-5] were examined, and an increase in their degree of alkylation increased the maximum of the optical d. on UV absorption curves. The ion exchange capacity of the polymers increased with increasing degree of alkylation. A linear dependence of the **reduced** viscosity of the polymer on concentration was observed, whereas intrinsic viscosity depended on the mol. weight of the original polymer. An extreme dependence of **reduced** viscosity on the degree of polymer alkalylation was observed A linear dependence of the equivalent elec. conductivity of aqueous solns. of the anion exchangers on concentration and degree of alkylation was observed, with elec. conductivity of quaternary ammonium salts of polymers lower than of corresponding monomer salts.

L5 ANSWER 91 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 90
ACCESSION NUMBER: 1973:536951 CAPLUS
DOCUMENT NUMBER: 79:136951
TITLE: **Synthesis** of α-aminoaldehydes by **reduction** of α-aminoamides and α-**aminoesters**
AUTHOR(S): Duhamel, Lucette; Duhamel, Pierre; Siret, Patrice
CORPORATE SOURCE: Lab. Chim. Org., Fac. Sci. Tech. Rouen, Mont-Saint-Aignan, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1973), (7-8)(Pt. 2), 2460-6
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB Aldehydes RR1CHCHO (R = Ph, Et, H; R1 = piperidino, morpholino, NEt2, NMe2, NPr2) and I (R2 = Me, CMe3; n = 1-4) were **prepared** by **reduction** of the corresponding carboxylic esters with LiAlH4 or AlH(CH2CHMe2)2. PhCHR1CHO (R1 = piperidino, pyrrolidino, morpholino, NEt2, cyclohexyl(methyl)amino) were also obtained by LiAlH4 **redn** . of PhCHR1CONMePh. The corresponding alcs. were also formed.

L5 ANSWER 92 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:464020 CAPLUS
DOCUMENT NUMBER: 81:64020
TITLE: **Synthesis** of poly(amidequinazolinediones)
AUTHOR(S): Iwakura, Yoshio; Uno, Keikichi; Ngyuen Chau
CORPORATE SOURCE: Fac. Eng., Univ. Tokyo, Tokyo, Japan
SOURCE: Polymer Journal (Tokyo, Japan) (1973), 5(3), 301-8
CODEN: POLJB8; ISSN: 0032-3896
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Aromatic diamines were treated with p-phenoxycarbonylaminobenzoyl chloride (I) [50265-21-9] to give bisurethanes (II, X = p-C6H4, p-C6H4C6H4-p, p-C6H4CH2-p-C6H4, p-C6H4O-p-C6H4) which were refluxed in pyridine, or treated in the solid state, with aromatic bis-o-amino esters to give poly(amidequinazolinediones), e.g. III. Phenol [108-95-2] was treated with p-isocyanatobenzoyl chloride [3729-21-3] to give I. The bisurethanes obtained from I had no m.p. but dissociated into the isocyanate compds. and PhOH at 210-330.deg.. In a model condensation reaction phenyl N-phenylcarbonate [4930-03-4] was refluxed 5 hr with Me anthranilate [134-20-3] to give 69% 3-phenyl-2,4-(1H,3H) quinazolinedione (IV) [603-23-6] m. 279.deg.. Refluxing Me N-(phenylcarbamoyl)anthranilate [2321-50-8] 5 hr in pyridine gave 85% IV and confirmed that the quinazolinedione was formed by a ring-closing reaction of the urea. Solution

polymerization of bis-o-**aminoesters** with bisurethanes gave .geq.62% yields of polyamides containing quinazolinedione rings in the main chain and these polymers had a 2-step weight loss at 210 and 360.deg.. After heat treatment 4 hr at 200-300.deg. only a single weight loss was observed over 360.deg. indicating that the ring closing reaction was incomplete after solution polymerization The polycondensation was also carried out under **reduced** pressure at 210-300.deg. and the .geq.84% polymer obtained had slightly higher mol. wts. than the solution polymers. Differential scanning calorimetry of the solid state polymerization supported a mechanism involving slow dissociation of the bisurethane into PhOH and an isocyanate, which underwent rapid addition with the bis-o-amine ester, followed by ring closing.

L5 ANSWER 93 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 91
ACCESSION NUMBER: 1972:501057 CAPLUS
DOCUMENT NUMBER: 77:101057
TITLE: Partial asymmetric **synthesis** of β-**arylaminoesters**
AUTHOR(S): Simova, E.; Beloslatinska, R. P.; Lyapova, M. I.; Kurtev, B. I.
CORPORATE SOURCE: Inst. Inorg. Chem., Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1972), 25(5), 641-4
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB PhCH:NPh and (-)-PhCH2CO2R (R = menthyl) were refluxed with NaNH2 in Et2O to give (-)-PhCH-(NHPh)CHPhR1 (I, R1 = CO2R) (II). The configuration of II was erythro, as determined by **reduction** with LiAlH4 to the corresponding (-)-erythro-propanol (I, R1 = CH2OH) and by conversion to the (-)-cis-oxazine (III).

L5 ANSWER 94 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 92
ACCESSION NUMBER: 1972:34177 CAPLUS
DOCUMENT NUMBER: 76:34177
TITLE: Heterocyclic β- **enamino esters**. IV. Reaction of 2-amino-3-(ethoxycarbonyl)-4,5-dihydrofurans with phenyl azide and N-α-chlorobenzylidene)-N'-phenylhydrazine
AUTHOR(S): Wamhoff, Heinrich; Sohar, Pal
CORPORATE SOURCE: Org. Chem. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1971), 104(11), 3510-18
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 76:34177
GI For diagram(s), see printed CA Issue.
AB Reaction of 2-amino-3-(ethoxycarbonyl)-4,5-dihydrofuran (I) or its 5-methyl derivative (II) with PhN3 gave 43% 5-amino-4-[2-(ethoxycarbonyloxy)ethyl]-1-phenyl-1,2,3-triazole (III) or 41% of the 4-[2-(ethoxycarbonyloxy)propyl] analog, resp. Reaction of I or II with PhNHN:CPhCl gave 38% α-(2,5-diphenyl-1,2,4-triazol-3-yl)-γ-butyrolactone (IV) or 35% of its γ-methyl analog, resp., **reduction** of which with LiAlH4 yielded the corresponding 2-substituted 1,4-butanediol or 1,4-pentanediol, resp. Reaction of the open chain I analog RR1NCMe:CHCO2Et with diphenylnitrilimine gave 5-methyl-1,3-diphenyl-4-(ethoxycarbonyl)pyrazole.

L5 ANSWER 95 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 93
ACCESSION NUMBER: 1969:53404 CAPLUS

DOCUMENT NUMBER: 70:53404
TITLE: Polarographic investigation of the kinetics and mechanism of the alkaline hydrolysis of **aminoesters** of α,β-unsaturated acids. I
AUTHOR(S): Tur'yan, Ya. I.; Ignat'eva, F. K.; Korshunov, M. A.
CORPORATE SOURCE: Nauch.-Issled. Inst. Monomer. Sin. Kauch., Yaroslavl, USSR
SOURCE: Zhurnal Obshchei Khimii (1968), 38(11), 2405-11
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Polarographic data were reported for CH2: CMeCO2CH2CH2NRR', where R and R' = H, tert-Bu; Et, Et; Me, Bu; Me, Me; Me, CH2CH:CH2; and CH2CH:CH2, CH2CH:CH2. The data were used to follow the kinetics of alkaline hydrolysis of these esters at various Ph levels. Hydrolysis by pure H2O was negligible. The rate constant of the unprotonated form of the esters with OH- ions was relatively independent of ester structure, but the rate constant for the protonated form, which was much larger, increased with increasing thermodynamic dissociation constant of the ester (in the sense of acid dissociation). A linear correlation with Taft substituent consts. was observed for the N-alkyl substituents. This is explained by the presence of an intramol. H bond in the esters in the protonated state, which in effect **catalyzed** the hydrolysis. Rate consts. for the hydrolysis are tabulated.

L5 ANSWER 96 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 94
ACCESSION NUMBER: 1961:124621 CAPLUS
DOCUMENT NUMBER: 55:124621
ORIGINAL REFERENCE NO.: 55:23431f-i
TITLE: **Synthesis** of alkyl esters of α,β-diphenyl-β-aminopropionic acids from alkyl phenylacetates and Schiff bases (or hydramides) in the presence of anhydrous aluminum chloride
AUTHOR(S): Mollov, N. M.; Bozhilova, M. V.; Baeva, V. I.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1960), 13, 307-10
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The addition reaction of Schiff bases and PhCH2CO2Et in the presence of AlCl3 discovered by Kurtev and M. (CA 50, 3416f) was extended to other esters of PhCH2CO2H. A mixture of 0.01 mole PhCH:NR and 0.01 mole PhCH2CO2R' was dissolved in 1-2.5 ml. C6H6 and 0.005-0.01 mole AlCl3 was added. A vigorous, exothermic reaction occurred and the color of the mixture changed. After the mixture was heated or kept at room temperature, excess dilute HCl was added to precipitate β-anilinoesters or HCl salts of β-amino- or β- **alkylaminoesters.** The ppts. were collected and recrystd. from EtOH or C6H6, or mixts. with Et2O or petr. ether. The following PhCH(NHR.A)CHPhCO2R' were **prepared** (R, R', A, % yield, m.p. given): H, Me, HCl (I), 28, 226-7°; Ph, Me, - (II), 52, 136-7.5°; H, Bu, HCl (III), 47, 223-4°; Ph, Bu, - (IV), 45, 135-6°; H, Ph, HCl (V), 48, 228-30°; Ph, Ph, - (VI), 47, 141-2°; H, PhCH2, HCl (VII), 20, 210-12°; Me, PhCH2, HCl (VIII), 45, 192-3°; Ph, PhCH2, - (IX), 58, 149-51°. In the **preparation** of I, III, V, and VII, only 0.005 mole hydrobenzamide was used, and the mixture was heated 2 hrs. at 70-5°. In the other expts., the reaction mixture was kept 20-30 min. at room temperature before acidification. A by-product of an unknown structure, m.p. 198-9°, was obtained in low yields in the reactions which formed III and V, as

well as in the reaction of hydrobenzamide and PhCH2CO2Et. The by-product contained N, but no Cl. The compns. of I-IX were shown by double Kjeldahl N analyses. The structures of II, IV, VI, and IX were shown by their hydrolysis (KOH in EtOH) to one or both diastereoisomers of PhCH(NHPh)CHPhCO2H. I, III, V and VII were converted to 5,6-diphenyldihydrouracil by heating them (steam bath) 2 hrs. with excess KCN in H2O-Et2O.

L5 ANSWER 97 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 95
ACCESSION NUMBER: 1959:39918 CAPLUS
DOCUMENT NUMBER: 53:39918
ORIGINAL REFERENCE NO.: 53:7163e-i,7164a-h
TITLE: Physiologically active compounds. II. Hydrochlorides of **aminoesters** of substituted benzilic and glycolic acids
AUTHOR(S): Buehler, C. A.; Smith, H. A.; Glenn, D. M.; Nayak, K. V.
CORPORATE SOURCE: Univ. of Tennessee, Knoxville
SOURCE: Journal of Organic Chemistry (1958), 23, 1432-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 53:39918

AB cf. C.A. 51, 17843h. Aminoester hydrochlorides of 39 substituted benzilic and glycolic acids were **synthesized**; 2 of them appear to be more active in exptl. animals than atropine in preventing mortality from an anticholinesterase compound, and 4 of them exhibit the highest anticholinergic activity. One compound previously reported offers some advantage over these as an anticholinergic. β-Aminoethyl chlorides were **prepared** by the procedures given in the previous paper. Tetrahydrofurfuryl alc. with SOCl2 gave 73% tetrahydrofurfuryl chloride (I). I, NHEt3, and NaI gave 53% N,N-diethyltetrahydrofurfurylamine (II). II was converted by HBr to 80% N-ethyl-3-hydroxypiperidine (III). III with SOCl2 gave N-ethyl-3-chloropiperidine-HCl which with aqueous NaOH gave the free N-ethyl-3-chloropiperidine. The following RR'C(OH)CO2(CH2)xR''.HCl were **prepared** by refluxing the proper benzilic acid with the aminoethyl chloride in dry iso-PrOH (R, R', R'', X, % yield, and m.p. given): 2-MeC6H4, 2-MeC6H4, N-ethyl-3-piperidyl (IV), 0, 69, 186-7°; 3-MeC6H4, 3-MeC6H4, N-ethyl-3-piperidyl, 0, 81, 150-1°; 4-iso-PrC6H4, 4-iso-PrC6H4, Et4N, 2, 64, 181-2°; 2-MeOC6H4, 2-MeOC6H4, Et2N, 2, 65, 171-2°; 4-MeOC6H4, 4-MeOC6H4, Et2N, 2, 77, 167-8.5°; 4-MeOC6H4, 4-MeOC6H4, pyrrolidino, 2, 92, 181-2°; 4-MeOC6H4, 4-MeOC6H4, pyrrolidino (MeBr derivative), 2, 53, 147-8°; 2,3-(MeO)2C6H3, 2,3-(MeO)2C6H3, Et2N (V), 2, 83, 184-5°; 3,4-(MeO)2C6H3, 3,4-(MeO)2C6H3, Et2N, 2, 79, 167.5-8.5°; 3,4-methylenedioxyphenyl, Ph, Et2N (VI), 2, 73, 164-5.5°; 3-PhC6H4, Ph, Et2N, 2, 73, 136-7°; 3-PhC6H4, Ph, Et2N (VII), 2, 60, 178-9°; 4-PhC6H4, Ph, piperidyl, 2, 70, 189-90°; 4-PhC6H4, Ph, N-ethyl-3-piperidyl (VIII), 0, 65, 149-50°; 3-PhC6H4, 3-PhC6H4, Et2N (IX), 2, 59, 158-9°; 3-PhC6H4, 3-PhC6H4, piperidino, 2, 68, 197-8°; 4-PhC6H4, 4-PhC6H4, Et2N, 2, 72, 183-5°; 4-PhC6H4, 4-PhC6H4, piperidino (X), 2, 47, 192-3°; 4-PhC6H4, 4-PhC6H4, N-ethyl-3-piperidyl (XI), 0, 74, 190-1°. 2-Phenylbenzilic acid could be **prepared** neither by an analogous procedure from 2-bromobiphenyl through the action of 2-biphenylmagnesium iodide on isonitrosoacetophenone nor through a mixed benzoin condensation of BzH and 2-PhC6H4CHO (XIa). The Grignard reagent of 3-bromobiphenyl (XII) reacted with N-methylformanilide to form 3-phenylbenzaldehyde (XIII) which was subjected to the benzoin condensation to give 3,3'-diphenylbenzoin (XIV). XIV was oxidized with

CuSO4 in C5H5N to the corresponding benzil (XV) which on rearrangement with KOH gave 3,3'-diphenylbenzilic acid (XVI). 2,2'-Diphenylbenzilic acid could not be produced because of the failure of XIa to undergo the benzoin condensation. XII and Et phenylglyoxylate (XVII) were **prepared** by known **methods.** XII (23.4 g.) in 300 ml. Et2O added dropwise to 2.51 g. Mg and Et2O under N, the solution refluxed 2 hrs., the Grignard solution added dropwise to 17.8 g. XVII in 200 ml. Et2O, the solution refluxed 2 hrs., 250 ml. dilute HCl added, the Et2O layer separated, the H2O portion extracted with more Et2O, the exts. combined, and distilled gave 18 g. Et 3-phenylbenzilate (XVIII), b1 213-18°. XVIII (18 g.) in 30 ml. alc. refluxed 3 hrs. with 20 g. KOH in 100 ml. H2O, diluted with H2O, acidified, and the precipitate collected gave 11 g. 3-phenylbenzilic acid, m. 127-8° (C6H6). XII (23.4 g.) in 250 ml. Et2O treated with 2.51 g. Mg, then 13.5 g. N-methylformanilide added during 2 hrs., stirred 1 hr., decomposed, and separated gave 14 g. XIII, b2 138-44°; 2,4-dinitrophenylhydrazone, m. 234-5°. XIII (8 g.), 3 g. KCN, 40 ml. H2O, and 80 ml. alc. refluxed. 10 hrs., cooled, diluted with H2O, extracted with Et2O, dried, and distilled gave 6 g. orange oil. This oil, 14 g. CuSO4, 100 ml. C5H5N, and 30 ml. H2O refluxed 6 hrs., the mixture poured onto ice and H2O, the liquid decanted, and the solid dissolved in alc. gave 2.7 g. XV, m. 119-20° (MeOH); quinoxaline, m. 156°. XV (8 g.) in 300 ml. Et2O left 24 hrs. with frequent shaking with 4 g. Na in 50 ml. 95% alc. and 25 ml. absolute alc., the solution extracted with H2O, the aqueous solution extracted with Et2O, heated to 90°, and acidified gave 3 g. crude XVI, m. 155-7° (C6H6). RR'C(OH)CO2CH2CH2NEt2.HCl (XIX) were **prepd** . by dissolving 0.01 mole corresponding benzilate in AcOH, hydrogenating at 3 atmospheric over 0.1 g. Pt **catalyst** until **reduction** was complete, removing the **catalyst** and AcOH, and crystallizing the solid to give pure XIX. The following XIX were thus **prepared** (R, R', % yield, and m.p. given): C6H11, C6H11, 72, 258-9°; C6H11, C6H11, 35, 212-13°; 2-MeC6H10, C6H11, 76, 165-6.5°; 3-MeC6H10, C6H11, 86, 181-2°; 4-MeC6H10, C6H11 (XX), 87, 190.5-2.0°; 2-MeC6H10, 2-MeC6H10, 80, 163.5-4.5°; 2,3-Me2C6H9, C6H11, 79, 174-5°; 2,4-Me2C6H9, C6H11, 79, 155-6°; 2,6-Me2C6H9, C6H11, 81, 181-2°; 3,4-Me2C6H9, C6H11, 80, 177.5-8.5°; 3,5-Me2C6H9, C6H11, 73, 171.5-3.0°; 3-MeC6H10, 3-MeC6H10, 84, 178.5-9.5°; 4-MeC6H10, 4-MeC6H10, 82, 187-8°; 2,3,5-Me3C6H8, C6H11, 76, 193-4°; 3,4,5-Me3C6H8, C6H11 (XXI), 90, 216.5-18.0°; 3,5-Me2C6H9, 3,5-Me2C6H9, 84, 183-4°; 4-iso-PrC6H10, 4-iso-PrC6H10, 84, 185-7°; 3-C6H11C6H10, C6H11, 43, 133-4°; 4-C6H11C6H10, C6H11, 74, 174.5-5.5°; 2,3,6-Me3C6H8, C6H11, 76, 199-200°. The above **method** was used to **prepare** all of the above XIX except with the di-C6H11 member in which the unreduced ester was **prepared** by the **method** of Hill and Holmes (U.S. 2,294,770) wherein the Me ester was refluxed with the appropriate amino alc. These compds. were tested for anticholinesterase activity, blood pressure, gut, respiration, and eye effects. VII and VIII appeared to be more active than atropine in preventing mortality from an anticholesterase compound The most active anticholinergic compds. are VI, XX, and XXI. VI and XXI are surpassed in activity by a previously **prepared** compound; this compound has much more marked effects on blood pressure and respiration than any of the 4 new compds. Compds. effective in dilating the pupil of the eye without significant irritant action are IV, V, VI, VIII, X, and XI. 3-PhC6H4CPh(OH)CO2(CH2)2NEt2.HCl and IX, which resemble V and VI in being diethylaminoethanol derivs., are as active as the latter 2 compds. in dilating the pupil, but are definitely irritating.

L5 ANSWER 98 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1954:55141 CAPLUS
DOCUMENT NUMBER: 48:55141
ORIGINAL REFERENCE NO.: 48:9726i,9727a
TITLE: Detergents of sulfated fatty hydroxyamides and of fatty **hydroxyaminoesters** derived from fatty acids
INVENTOR(S): Utiel, Luis Ayuso
PATENT ASSIGNEE(S): Pulcra Ltda.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| ES 204372 | | 19530124 | ES | |

AB Mix cold 300 kg. of palmitic acid with 90 kg. of triethanolamine to **make** the soap. Add 10.7 kg. of anhydrous ZnCl2. Heat slowly to 100° and with a pressure 3.5 atmospheric of N and hold for 3/4 h. with intense agitation. Cool to 80° and **reduce** the pressure to atmospheric pressure. Add 200 kg. of H2O at 80° and sep. the supernatant oil from the alkaline waters. When cold sulfonate the 365 kg. of condensate for 1/2 h. with 180 kg. of ClSO3H in an open vessel while agitating slowly. Neutralize the sulfated product with 500 kg. of NaHCO3. A white powder (903 kg.) of excellent detergent properties is obtained of formula RCOOC2H4N(C2H4OH)C2H4OSO3Na. Examples with bagasse oil are also given.

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 318.82 | 319.87 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -72.03 | -72.03 |

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